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# (54) Title: HERBICIDAL PYRIMIDINES AND TRIAZINES

#### (57) Abstract

This invention relates to certain herbicidal sulfonylure pyrimidines and triazines useful for complete control and/or selective control of vegetation with the selectivity being important to agronomic crops.

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#### TITLE

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# HERBICIDAL PYRIMIDINES AND TRIAZINES Related Applications

This is a continuation-in-part of U.S. Serial No. 07/542390 filed June 22, 1990 which is a continuation-in-part of U.S. Serial No. 07/463,356 filed January 11, 1990.

## Background of the Invention

This invention relates to certain herbicidal pyrimidines and triazines, agriculturally suitable compositions thereof and a method for their use as general or selective preemergent or postemergent herbicides or as plant growth regulants.

New compounds effective for controlling the growth of undesired vegetation are in constant demand. In the most common situation, such compounds are sought to selectively control the growth of weeds in useful crops such as cotton, rice, corn, wheat and soybeans, to name a few. Unchecked weed growth in such crops can cause significant losses, reducing profit to the farmer and increasing costs to the consumer. In other situations, herbicides are desired which will control all plant growth.

Examples of areas in which complete control of all vegetation is desired are areas around railroad tracks, storage tanks and industrial storage areas.

There are many products commercially available for

There are many products commercially available for these purposes, but the search continues for products which are more effective, less costly and environmentally safe.

JP Kokai Hei 1[1989]-301668 discloses mandelic acid derivatives as herbicides:

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J. Chem. Res.(S) 1977, 186 discloses benzyl pyrimidines as intermediates to herbicides but includes no herbicidal test data for these intermediates.

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JP KOKAI HEI 2[1990]-56469 (unofficial English translation) discloses as herbicides the following structures:

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wherein, inter alia

Z is CH or N;

R is a formyl group or  $CO_2R^1$ ; and

R<sup>1</sup> is H, lower alkyl, lower alkoxyalkyl or lower alkylthicalkyl.

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 ${\sf EP-A-360,163}$  discloses herbicidal compounds of the formula:

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## SUMMARY OF THE INVENTION

This invention pertains to compounds of Formula I including all geometric and stereoisomers, agriculturally suitable salts, agricultural compositions containing them and their method-of-use for the control of unwanted weeds both preemergence and postemergence.

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$$Q \longrightarrow \bigcup_{N=-\infty}^{R^3} Z$$

20

I

wherein

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Q is

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Q-5

Q-6

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A is CR<sup>2</sup>, N or N-O; X is H, F, C1, CH<sub>3</sub>, OH, C(0)NR<sup>12</sup>R<sup>13</sup>,  $CO_2R^{14}$  or 20 CN;  $R^1$  is H, CHO, C(OCH<sub>3</sub>)<sub>2</sub>H,  $CO_2R^5$  or C(O)SR<sup>11</sup>;  $R^2$  is H, F, C1,  $C_1$ - $C_2$  alkyl,  $C_1$ - $C_2$ -alkoxy,  $C_2-C_3$  alkynyl,  $C_2-C_3$  alkenyl,  $S(0)_nC_1-C_2$ 25 alkyl, NO2, phenoxy, C2-C4 alkylcarbonyl,  $C(OCH_3)_2CH_3$ , or  $C(SCH_3)_2CH_3$ ;  $R^3$  is  $C_1-C_2$  alkyl,  $C_1-C_2$  alkoxy, OCF<sub>2</sub>H or Cl;  $R^4$  is  $C_1-C_2$  alkyl;  $R^5$  is H; M;  $C_1-C_3$  alkyl;  $C_2-C_3$  haloalkyl; 30 allyl; propargyl; benzyl optionally substituted with halogen,  $C_1-C_2$  alkyl,  $C_1-C_2$ alkoxy,  $CF_3$ ,  $NO_2$ ,  $SCH_3$ ,  $S(O)CH_3$ , or S(0)<sub>2</sub>CH<sub>3</sub>; C<sub>2</sub>-C<sub>4</sub> alkoxyalkyl; N=CR<sup>7</sup>R<sup>8</sup>; or CHR9S(0)nR10;  $R^6$  is H, F, C1,  $CH_3$ ,  $OCH_3$  or  $S(O)_nCH_3$ ;

 $R^7$  is C1,  $C_1$ - $C_2$  alkyl or  $SCH_3$ ;  $R^8$  is  $C_1-C_2$  alkyl,  $CO_2(C_1-C_2$  alkyl) or C(0)N(CH<sub>3</sub>)<sub>2</sub>; 5  $R^9$  is H or  $CH_3$ ;  $R^{10}$  is  $C_1$ - $C_3$  alkyl or phenyl optionally substituted with halogen, CH3, OCH3 or NO2;  $R^{11}$  is  $C_1-C_2$  alkyl or benzyl; R<sup>12</sup> is H or CH<sub>3</sub>; 10 R<sup>13</sup> is H or CH<sub>3</sub>;  $R^{14}$  is H,  $C_1$ - $C_3$  alkyl,  $C_2$ - $C_5$  haloalkyl,  $C_3$ - $C_5$ alkenyl,  $C_3-C_5$  alkynyl,  $C_2-C_5$  alkoxyalkyl or benzyl optionally substituted with CH3,  $OCH_3$ ,  $SCH_3$ , halogen,  $NO_2$  or  $CF_3$ ; 15 m is 0 or 1: n is 0, 1 or 2; M is a alkali metal atom or an alkaline earth metal atom, an ammonium group or an 20 alkylammonium group; and Z is CH or N. and their agriculturally suitable salts; provided that: (a) when  $R^1$  is H, then X is  $CO_2R^{14}$ ; (b) when X is  $CO_2R^{14}$ , then  $R^1$  is H; and 25 (c) when Z is N, then  $R^3$  is  $C_1-C_2$  alkyl or

In the above definitions, the term "alkyl",

used either alone or in compound words such as
"haloalkyl" includes straight chain or branched
alkyl, e.g., methyl, ethyl, n-propyl, isopropyl or
the different butyl isomers.

 $C_1-C_2$  alkoxy.

"Alkoxy", "alkenyl" and "alkynyl" analogously also includes straight chain or branched isomers.

"Halogen", either alone or in compound words

such as "haloalkyl", means fluorine, chlorine,
bromine or iodine. Further, when used in compound
words such as "haloalkyl" said alkyl may be partially
or fully substituted with halogen atoms, which may be
the same or different. Examples include CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>,

CH<sub>2</sub>CH<sub>2</sub>F, CF<sub>2</sub>CF<sub>3</sub> and CH<sub>2</sub>CHFC1.

The preferred compounds of the invention for reasons including ease of synthesis and/or greater herbicidal efficacy are:

- Compounds of Formula I wherein
   Q is Q-1 or Q-2;
  - 2. Compounds of <u>Preferred 1</u> wherein R<sup>2</sup> is H, F, C1, CH<sub>3</sub>, SCH<sub>3</sub>, OCH<sub>3</sub> or OCH<sub>2</sub>CH<sub>3</sub>;

3. Compounds of <u>Preferred 2</u> wherein
R<sup>6</sup> is H;
Z is CH;
R<sup>3</sup> is OCH<sub>3</sub>;

25 R<sup>4</sup> is CH<sub>3</sub>; and X is H;

 Compounds of <u>Preferred 2</u> wherein R<sup>6</sup> is H or 3-F;

Z is CH;  $R^3$  is OCH<sub>3</sub>;  $R^4$  is CH<sub>3</sub>;

X is  $CO_2R^{14}$ ; and  $R^{14}$  is  $C_1$ - $C_3$  alkyl, allyl, propargyl or benzyl;

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- 5. Compounds of <u>Preferred 3</u> wherein Q is Q-1;

  R<sup>1</sup> is CO<sub>2</sub>R<sup>5</sup>; and

  R<sup>5</sup> is H or M;
- 6. Compounds of <u>Preferred 3</u> wherein Q is Q-2;
  R<sup>1</sup> is CO<sub>2</sub>R<sup>5</sup>; and R<sup>5</sup> is H or M;
- 7. The compound of <u>Preferred 5</u> which is 2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-6-methyl-benzoic acid;
  - The compound of <u>Preferred 2</u> which is 2-[cyano(4,6-dimethoxy-2-pyrimidinyl)methyl]benzoic acid;
  - The compound of <u>Preferred 5</u> which is
     2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-6-methyl benzoic acid, sodium salt;
- 25 10. The compound of <u>Preferred 5</u> which is 2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-3-pyridine carboxylic acid;
- 11. The compound of <u>Preferred 4</u> which is ethyl
  4,6-dimethoxy-alpha-phenyl-2pyrimidineacetate.

The compounds of this invention are biologically active as herbicides both post and preemergent with selectivity to crops including barley, wheat, corn and cotton.

# Detailed Description of the Invention

#### **Synthesis**

The compounds of Formula I can be prepared by one or more of the following methods described in Equations 1 to 4.

The compounds of Formula I can be prepared by the reaction of an anion, formed from intermediate II and a base, with heterocycle III as shown in Equation 1.

#### Equation 1

15

10

Q-H + base + 
$$Y \longrightarrow X$$
  $\longrightarrow X$   $\longrightarrow X$   $\longrightarrow X$ 

II

III

25

#### wherein:

- Q-1 to Q-6, Z, R<sup>3</sup> and R<sup>4</sup> are as previously defined;
- Y is C1, Br, I, SO<sub>2</sub>CH<sub>3</sub> and SO<sub>2</sub>benzyl; and within the values of Q, R<sup>1</sup> is C(OMe)<sub>2</sub>H, CH<sub>2</sub>OH, CO<sub>2</sub>R<sup>5</sup> or C(O)N(H, alkyl)(alkyl, silylalkyl); and

X is H.

The reaction wherein a benzylic anion is formed, is best carried out in a dry inert solvent

such as hexane, benzene, diethyl ether or tetrahydrofuran (THF). Appropriate bases include 5 hindered amine bases, such as lithium diisopropylamide (LDA) or alkyllithiums, such as methyllithium or magnesium salts, such as ethyl magnesium bromide. When R1 contains an acidic group, a second equivalent base is required. Formation of benzylic anions is further taught by Y. Thebtaranonth 10 et al in <u>Synthesis</u>, 1986, 785; in <u>Tet. Let.</u>, 1989, 30, 3861; J. Staunton et al. in J. Chem. Soc. Perkin Trans. I, 1984, 1043-1051, and F. Hauser et al. Synthesis, 1980, 72. The reaction can be carried out from low temperatures -78°C (dry ice/acetone) up to 15 the reflux point of the solvent. Generally, a lower temperature is preferred for anion formation, while the coupling of the anion II and III proceeds readily at higher temperatures.

20 When the reaction is judged complete, it is worked up in one of two manners, depending on the  $\mathbb{R}^1$ group. If R1 contains an acidic group such as CO2H, then the reaction is extracted into aqueous base, and the water layer acidified. Alternately, the carboxylate can be alkylated in situ to give an alkyl 25 or benzyl ester. The product is either collected by filtration or extracted with an organic solvent and concentrated. The residue is further purified by trituration, crystallization or chromatography in the appropriate solvent. If the R1 group contains no 30 acidic group, i.e., an isopropylester, then the reaction is quenched with brine, the organic layer separated and concentrated followed by the appropriate purification to give the desired product.

35 The compounds of Formula I can be prepared by the reaction of a cyanomethyl derivative <u>IV</u> with

heterocycle <u>III</u> as shown in Equation 2a followed by oxidation, then reduction to give the alcohol, which can be converted to the halomethyl derivative (X is F or Cl), or further reduced to the methylene derivative (X is H).

#### Equation 2

 $X=CO_2H$  or  $C(O)NH_2$ 

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2a) 
$$T-CH_2CN + III \longrightarrow I$$

IV X=CN

2b) I + [0]  $\longrightarrow T-C \longrightarrow Z$ 

X=CN

V OR

20

X=CN

13

2g) I 
$$\longrightarrow$$
 I  $X=CO_2H$   $X=CO_2R$  or  $C(O)NR^{12}R^{13}$  R is equivalent to  $R^5$ 

2h) I 
$$\longrightarrow$$
 I  $X=CO_2H$  or  $CO_2R$   $X=H$ 

10 2i) 
$$TCH_2CO_2R^{14} + III \longrightarrow I$$

$$X=CO_2R^{14}$$

wherein:

T is

15

20 
$$\mathbb{R}^6 \longrightarrow \mathbb{R}^1$$
  $\mathbb{R}^6 \longrightarrow \mathbb{R}^1$   $\mathbb{R}^6 \longrightarrow \mathbb{R}^1$ 

25

$$\mathbb{R}^{0} \xrightarrow{\mathbb{R}^{1}} \mathbb{R}^{0} \xrightarrow{\mathbb{R}^{1}} \mathbb{R}^{0} \xrightarrow{\mathbb{R}^{1}} \mathbb{R}^{0}$$

10 
$$\mathbb{R}^6$$
,  $\mathbb{R}^6$ ,  $\mathbb{R}^6$  or

 $R^1$  is  $C(OMe)_2H$ , Br, CN,  $CH_2OSiMe_2CMe_3$  or  $CO_2R^5$ ;  $R^5$  is H, M,  $CHMe_2$  or  $CMe_3$ ; and A and  $R^6$  are as previously defined.

The reaction of Equation 2a wherein Y is Cl or Br can be conveniently carried out under  $S_{\rm rn}l$  conditions by preparing a mixture of one equivalent or more of potassium metal, a catalytic amount of an iron compound, i.e., ferric nitrate, in liquid

ammonia. The arylacetonitrile IV is added followed by the dropwise addition of the haloheterocycle III,

- with concomitant irradiation from a photoreactor lamp which emits maximally at 350 nm. The reaction is irradiated from 1 to 24 hours, then the reaction is quenched with solid ammonium chloride, the ammonia is allowed to slowly evaporate. The residual material
- is rinsed with diethylether and the filtrate is subjected to purification by recrystallization or chromatography to give the desired product.

  Procedures can be adapted from J. F. Wolfe et al., J. Het. Chem., 1987, 24, 1061.
- Alternatively, the reaction of Equation 2a, wherein Y is Cl, Br, I, CH<sub>3</sub>SO<sub>2</sub> or PhCH<sub>2</sub>SO<sub>2</sub>, is carried out under basic conditions.

The starting materials can be premixed in an inert solvent such as diethylether, THF or

- dimethylformamide (DMF) solvent when Y is halogen, followed by addition of a strong base, such as an alkali metal hydride, i.e., NaH, or a hindered metallated base, i.e., LDA or potassium t-butoxide.
- Another order of addition for any Y value can be the formation of the anion of acetonitrile <u>IV</u> in an inert solvent, followed by its addition to the heterocycle in an inert solvent. Yields are generally increased with the use of dry solvents and dry inert atmospheres, with temperatures that range from -78°C
- to the solvent reflux point. The reaction is neutralized and the product is isolated by chromatography or crystallization. Analogous reactions are taught by R. Y. Ning et al., J. Med. Chem., 1977, 20, 1312 and F. Sauter et al., J. Chem.
- 35 Res.(S), 1977, 186.

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Reactions 2a and 2b can be carried out concurrently by allowing the reaction to be exposed to oxygen in the atmosphere. The oxidation of I (X is CN) to a diaryl ketone V can be carried out by one of several procedures. S. Murahashi et al., Syn. Lett., 1989, 62, teach the oxidation of alkanenitriles with ruthenium catalyzed t-butyl hydroperoxide to give intermediate 2-(t-butyldioxy)-alkanenitriles, which are further oxidized by titanium tetrachloride.

Diarylketones V can be reduced directly to the diarylmethanes via Equation 2e by Wolff-Kishner conditions as taught by Cram et al., J. Am. Chem. Soc., 1962, 84, 1734; Clemmensen conditions as taught by Yamamura and Hirata, J. Chem. Soc. C, 1968, 2887;

or hydrogenation with a catalyst such as CuCr2O4.

The diarylketones <u>V</u> can also be reduced

stepwise to the alcohol, <u>I</u> (X=OH), with lithium
aluminum hydride or sodium borohydride. The alcohol
can be converted to the chloride with thionyl
chloride or methanesulfonyl chloride and
triethylamine and to the fluoride with "DAST"

(diethylaminosulfur trifluoride), see <u>Synthesis</u>,
1973, 787 and <u>J. Org. Chem.</u>, 1975, <u>40</u>, 574, as shown
in Equations 2c and 2d.

Cyanomethanes of Formula I (X=CN) can be converted to carboxylic acids and amides by hydrolysis with either base or acid, as shown in Equation 2f.

Carboxylic acids I (X=CO<sub>2</sub>H) can be esterified or converted to amides by methods well known to a chemist skilled in the art.

Equation 2h shows that compounds of Formula I (X=CO<sub>2</sub>R) can be decarboxylated to the methylene

bridged compounds. Such decarboxylations are well known in the art and generally are accomplished by heating the compound with or without solvent and with or without a catalyst.

Equation 2i is carried out in a similar fashion to 2a wherein an appropriate base is reacted with the aryl acetate followed by addition of heterocycle III.

The cyanomethanes and arylacetates of Formula

IV are either known in the art or prepared by simple
modifications thereof. Cyanomethanes are most
conveniently prepared by nucleophilic reaction of a
metal cyanide, i.e., NaCN, with a benzyl halide in a

15 suitable solvent, such as dimethylformamide,
dimethylsulfoxide or THF. The benzyl halides are
also well known, and easily prepared from II by
methods adapted from T. Eicher, Synthesis, 1988, 1,
525 and Clarke et al., J. Chem. Perkin Trans. I,
1984, 1501.

The compounds of Formula I can be prepared by a cross-coupling reaction between an aryl boronic acid and a bromomethyl heterocycle with a catalyst as shown in Equation 3.

Equation 3

30
$$T-B(OH)_2 + BrCH_2 \xrightarrow{N} Z + catalyst + base \longrightarrow CR^4$$

35 vi vii

wherein:

T, Z, R<sup>3</sup> and R<sup>4</sup> are as previously defined;

R<sup>1</sup> is C(OMe<sub>2</sub>)H, CH<sub>2</sub>OH, CO<sub>2</sub>R<sup>5</sup> or CON(H,CH<sub>3</sub>)(alkyl, alkylsilyl); and

R<sup>5</sup> is H, M, isopropyl or t-butyl.

The reaction is carried out by mixing the bromide (VII) with a transition metal catalyst, such 10 as Ni(0) or Pd(0), preferably  $Pd(PPh_3)_4$  in a suitable solvent, such as toluene or glyme, followed by the addition of boronic acid VI and the base, such as an alkoxide, hydroxide or carbonate, for example NaOEt,  ${\tt NaOH}$  or  ${\tt Na_2CO_3}$  in a suitable solvent such as water or 15 ethanol. The reaction mixture is stirred from 1 to 24 hours at room temperature to reflux. At completion, the reaction is filtered, and the filtrate is concentrated. The residue is partitioned between brine and an organic solvent (EtOAc,  $CH_2Cl_2$ ), 20 separated, dried ( $Na_2SO_4$ ,  $MgSO_4$ ), and concentrated, whereupon the product is isolated and purified, if necessary, by flash chromatography, recrystallization or distillation. Similar procedures and modifications can be found in Snieckus et al., 25 Tet. Let., 1987, 28, 5093; ibid., 1985, 26, 5997; Yamamoto et al., Synthesis, 1986, 564; Suzuki et al. Synth. Comm., 1981, 11, 513 and references incorporated therein.

known in the art. They can be prepared by contacting an aryl organo metallic compound with B(OMe)<sub>3</sub> followed by acidic workup, as in <u>J. Org. Chem.</u>, 1984, <u>49</u>, 5237 and <u>Tetrahedron</u>, 1983, <u>39</u>, 1955; or by reaction of an arylsilane with BBr<sub>3</sub>, followed by

addition of methanol, then dilute acid, as described in <u>Tet. Let.</u>, 1987, <u>28</u>, 5093.

Bromomethanes <u>VII</u> can be prepared by well known methods for conversion from alcohols and from methyl groups. A representative example is described in <u>J. Het. Chem.</u>, 1989, <u>26</u>, 913.

Compounds of Formula I, wherein Z is CH, can be prepared by the route shown in Equation 4.

#### Equation 4

15

$$NH_2 \cdot C1^ CNH_2$$
 $CNH_2$ 
 $CNH_2$ 
 $CNH_2$ 
 $CH_3$  or  $OCH_3$ 
 $CH_3$ ,  $OH$ 
 $CH_3$  or  $OCH_3$ 
 $CH_3$ ,  $OH$ 
 $CH_3$  or  $OCH_3$ 
 $CH_3$ ,  $OH$ 
 $CH_3$  or  $OCH_3$ 
 $CH_3$  or  $OCH_3$ 
 $CH_3$  or  $OCH_3$ 

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The reaction is carried out by reacting IV with

hydrogen chloride in an alcohol to form an imidate
which is converted to the amidine salt, VIII, with
ammonia. The pyrimidinol IX is formed by
condensation with a diketone/ester. This sequence of
reactions and similar modifications can be found in

H. C. van der Plas et al., Tetrahedron, 1989, 45,
6511-6518. Compounds of Formula IX can be converted

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to instant compounds I by preparation of the chloropyrimidine with phosphorus oxychloride and a catalytic amount of DMF and subsequent displacement with sodium methoxide or ethoxide.

Heterocycles of Formula III are generally known in the art or can be prepared by simple modifications thereof. For example, preparation of chlorotriazines 10 is described in J. Am. Chem. Soc., 1951, 73, 2989, while chloropyrimidines are described in J. Chem. Soc. (C), 1966, 2031. General references, particularly to aminoheterocycles, can be found in "The Chemistry of Heterocyclic Compounds", a series published by Interscience Publishers, Inc., New York 15 and London. The alkylsulfonyl and benzylsulfonyl heterocycles can also be prepared by the general reference above and more specifically by alkylation of thiols, as described in J. Med. Chem., 1984, 27, 20 1621-1629, followed by oxidation, most commonly by m-chloroperoxybenzoic acid.

The arylmethanes of Formula II are known in the art or easily prepared by methods therein.

The R<sup>1</sup> groups of Equations 1 to 4 can be converted into the claimed R<sup>1</sup> groups by techniques well known to one skilled in the art. For example, benzyl alcohols can be oxidized to aldehydes with many reagents, including pyridinium chlorochromate (PCC) and/or further oxidized to the carboxylate with potassium permanganate (KMnO<sub>4</sub>). A sample procedure involving a phase transfer reagent is found in Can. J. Chem., 1989, 67, 1381.

Additionally, conversion to and from various preferred R<sup>1</sup> groups are well known to one skilled in the art. Many are described in T. Greene, <u>Protective</u>

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Groups in Organic Synthesis, 1981, John Wiley and Sons, New York.

Carboxylic acid salts of Formula I ( $R^1$  is  $CO_2M$ ) 5 can be prepared by reacting the carboxylic acid of Formula I ( $\mathbb{R}^1$  is  $\mathrm{CO}_2\mathrm{H}$ ) with a base in the presence or absence of a solvent within a temperature range from room temperature to the boiling point of the solvent from 5 minutes to 24 hours. The solvent may be a hydrocarbon such as benzene or toluene, a halogenated hydrocarbon such as methylene chloride or chloroform, an alcohol such as methanol, ethanol or isopropanol, and other solvents, such as ethyl ether, THF, acetone, methyl ethyl ketone, ethyl acetate or acetonitrile. The base may be an alkali metal such as sodium metal or potassium metal, an alkali metal or alkaline earth metal hydride such as sodium hydride, potassium hydride or calcium hydride, a carbonate such as sodium carbonate, potassium carbonate or calcium carbonate, or a metal hydroxide such as sodium hydroxide or potassium hydroxide. organic base may be ammonia, an alkylamine (primary amine), a dialkylamine (secondary amine) or a

25 trialkylamine (tertiary amine).

#### Example 1

# 2-[(4.6-Dimethoxy-2-pyrimidinyl)methyl]-6-methylbenzoic acid

To a cooled (15°C) suspension of sodium hydride 30 (8.79 g, 0.183 mol), prewashed with dry hexanes, in 300 mL anhydrous THF under an  $N_2$  atmosphere was added 2,6-dimethylbenzoic acid (24.5 g, 0.166 mol), portionwise. Additional THF (300 mL) was added to facilitate stirring in the resultant slurry. 35 142 mL of 1.4 M methyllithium (0.199 mol) was added

dropwise at room temperature. One-twelfth of the resultant red solution (50 mL, 0.0138 mol), was added

- to 1.50 g of 4,6-dimethoxy-2-(methylsulfonyl)pyrimidine (0.068 mol) under N<sub>2</sub> at room temperature.

  After 4 hours, the reaction was diluted with 100 mL
  lN HCl and 100 mL brine. The layers were separated;
  the aqueous layer was extracted with 100 mL ethyl
- acetate. The combined organic layers were dried (MgSO<sub>4</sub>), filtered and concentrated to give 2.5 g of a yellow oil. Addition of Et<sub>2</sub>O gave a small amount of white precipitate, which was removed by filtration. The filtrate was subjected to flash column
- chromatography (40 mm x 6" of SiO<sub>2</sub>), eluted with 25% ethyl acetate/hexanes (v/v), initially, then 50:49:1 ethyl acetate in hexane/methanol. The fractions containing product were collected and concentrated under reduced pressure. The resultant oil
- crystalized on standing to give 0.41 g solid, m.p. 122-124°C.

IR (nujol) =  $1710 \text{ cm}^{-1}$ .

Mass Spec. m/e = 289 (100, M+1).

PMR (200 MHz, CDC1<sub>3</sub>)  $\delta$  2.49 (s, CH<sub>3</sub>, 3H), 3.5-3.9

25 (bs, OH, 1H), 3.93 (s, OCH<sub>3</sub>, 6H), 4.15 (s, CH<sub>2</sub>, 2H), 5.91 (s, pyrm-H, 1H), 7.0-7.3 (m, ArH, 3H).

#### Example 2

### 2-[Cyano(4,6-dimethoxy-2-pyrimidiny1)methyl]-

- 30 benzoic acid
  - a) To a suspension of 60% NaH (0.38 g, prewashed with hexanes) in 50 mL dry THF was simultaneously added methyl 2-cyanomethylbenzoate (1.6 g) and
- 4,6-dimethoxy-2-methylsulfonylpyrimidine (1.99 g) in dry THF. After addition, the reaction was refluxed

- for 2 days, then 1.0 g of potassium t-butoxide was added. After 1 day, the reaction was quenched with 25 mL of brine and neutralized with 1 N HCl. The organic layer was concentrated under reduced pressure to give 2.87 g of an oil. The oil was subjected to flash column chromatography (SiO<sub>2</sub>), eluted with EtoAc/hexane (1:9) to give 0.75 g of solid, m.p.
- 10 79-81°C.

  PMR (200 MHz, CDCl<sub>3</sub>) & 3.86 (s, OCH<sub>3</sub>, 6H), 3.90 (s, OCH<sub>3</sub>, 3H), 5.89 (s, pyrm-H, 1H), 6.74 (s, CHCN, 1H), 7.35-8.05 (m, ArH, 4H).
- b) The product of 2a (0.43 g ) was dissolved in a solution of 1.6 mL of 12% aqueous NaOH and 12 mL of ethanol. After 12 hours, the reaction mixture was diluted with 15 mL of .4 M NaOH and washed with Et<sub>2</sub>O. The aqueous layer was acidified, then
- extracted with EtOAc. The organic layer was concentrated under reduced pressure and the residue was triturated with butyl chloride to give 0.15 g solid, m.p. 214-216°C.
  - NMR (90 MHz, CDCl<sub>3</sub>) & 3.9 (s, OCH<sub>3</sub>), 6.0 (s, pyrm-H, 1H), 6.9 (s, CHCN, 1H), 7.4-8.3 (m, ArH,
- 25 pyrm-H, 1H), 6.9 (s, CHCN, 1H), 7.4-8.3 (m, ArH, 4H), 11.0 (bs, CO<sub>2</sub>H, 1H).

#### Example 3

# 2-[(4.6-Dimethoxy-2-pyrimidinyl)methyl]-3-

#### 30 pyridinecarboxylic acid

35

To a cooled (-78°C) suspension of 2-methylnicotinic acid (1.4 g, 10.2 mmol) in 100 mL dry THF was added 11.25 mL 1.95 M LDA dropwise. The reaction turned purple and warmed to -65°C. Allowed to recool to -78°C, then added 2-chloro-4,6-dimethoxy-pyrimidine (1.75 g, 10 mmol). The reaction was

30

35

allowed to warm to room temperature over 2 days. solvent was removed under reduced pressure. residue was partitioned between Et<sub>2</sub>O and water, which 5 was basified to pH 8-9. The aqueous layer was acidified, then extracted with EtOAc, dried (MgSO4), and concentrated under reduced pressure to give 1.33 g of a brown oil. This oil was subjected to flash 10 column chromatography on SiO2, eluted with 97:2:1 (EtOAc:MeOH:HOAc), to give after trituration with BuCl/hexanes a solid, 0.29 g, m.p. 182-186°C. Mass Spec.: m/e 276 (100,  $MH^+$ ). PMR (acetone- $d_6$ , 200 MHz) & 3.8 (s, OMe, 6H), 4.8 (s,  $CH_2$ , 2H), 5.9 (s, pyrm-H, 1H), 7.4 (m) + 8.3 (m) + 15 8.7 (m)[pyrH, 3x 1H].

#### Example 4

4.6-Dimethoxy-α-phenyl-2-pyrimidineacetic acid. ethyl ester.

To a cooled (-78°C) solution of ethyl phenylacetate (0.79 ml, 5mmol) in 30 mL anhydrous THF under an N<sub>2</sub> atmosphere was added 2.86 mL of 1.9M LDA dropwise, followed by 1.0 g of 4,6-dimethoxy-2-methylsulfonylpyrimidine. The reaction mixture was allowed to warm to room temperature over 6 h then quenched with 20 mL brine and 5 mL of 1 NHC1. The layers were separated and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed once with brine, dried (MgSO<sub>4</sub>), filtered and concentrated under reduced pressure to give 1.6 g of a brown oil. The oil was subjected to flash column chromatography (SiO<sub>2</sub>), eluted with Et<sub>2</sub>O/hexanes (1:9) to give 0.63g of the product as an oil. PMR (200 MH<sub>Z</sub>, CDCl<sub>3</sub>) & 1.24 (t, CH<sub>3</sub>, 3H), 3.89

(S, OCH<sub>3</sub>, 6H), 5.08 (S, CH, 1H), 5.89 (s, pyrmH, 1H), 7.2-7.6 (m, ArH, 5H).

Using the procedures of Equations 1 to 4 and Examples 1 to 4, the compounds of Tables 1 to 7 can be prepared by one skilled in the art.

## TABLE 1

A	<u>R</u> <sup>1</sup>	R <sup>6</sup>	A	<u>R</u> 1	<u>R</u> 6
N	2-CHO	н	CH	2-CHO	H
N	2-CHO	4-C1	CCH <sup>3</sup>	2-CHO	H
N	2-CO <sub>2</sub> H	H	CC1	2-CHO	H
N	2-CO <sub>2</sub> H	4-F	COCH <sup>3</sup>	2-CHO	H
N	2-CO <sub>2</sub> H	5-0CH <sub>3</sub>	CH	2-CHO	4-F
N	2-CO <sub>2</sub> H	6-SCH <sub>3</sub>	СН	2-CO <sub>2</sub> H	4-F
N	2-CO <sub>2</sub> CH <sub>3</sub>	н	CH	2-CO <sub>2</sub> H	4-0CH <sub>3</sub>
N	2-CO <sub>2</sub> CH <sub>2</sub> CH=CH <sub>2</sub>	н	СН	2-CO <sub>2</sub> H	4-OCH <sub>2</sub> CH <sub>3</sub>
N	2-CO <sub>2</sub> CH <sub>2</sub> CECH	н	CC(OMe) <sub>2</sub> CH <sub>3</sub>	2-CO <sub>2</sub> H	H
И	2-CO <sub>2</sub> CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H .	COC <sub>6</sub> H <sub>5</sub>	2-CO <sub>2</sub> H	H
N	2-CO <sub>2</sub> CH <sub>2</sub> -3-C1-C <sub>6</sub> H <sub>4</sub>	H	CH	2-CO <sub>2</sub> CH <sub>3</sub>	4-C1
N	2-CO <sub>2</sub> Na	H	CH	2-CO <sub>2</sub> CH <sub>3</sub>	4-SCH <sub>3</sub>
N	2-CO <sub>2</sub> H•NH <sub>2</sub> CHMe <sub>2</sub>	H	CH	2-CO <sub>2</sub> CH <sub>3</sub>	6-SO <sub>2</sub> CH <sub>3</sub>
и-о	2-CO <sub>2</sub> H	H	СН	2-CO <sub>2</sub> CH <sub>3</sub>	5-C1
n	4-CHO	H	•		
N	4-CO <sub>2</sub> H	H.			
N	4-CO <sub>2</sub> Na	н			
N	4-CO <sub>2</sub> H	5-C1	-		

## TABLE 2

<b>R</b> <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	Z	R <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	<u>z</u>
H	H	CH <sup>3</sup>	CH	н	OCH <sub>2</sub> CH <sub>3</sub>	осн3	СН
H	H	Cl	CH	H	CECH	CH <sup>3</sup>	CH
H	H	осн <sub>3</sub>	СН	H	C≣CH	Cl	СН
H	F	CH <sub>3</sub>	CH	H	C≣CH	осн3	CH
H	F	Cl	CH	H	SCH3	CH3	CH
H	F	OCH <sub>3</sub>	CH	H	SCH3	Cl	СН
H	Cl	CH3	CH	H	SCH3	OCH <sub>3</sub>	CH
H	Cl	Cl	СН	H	H	CH <sub>3</sub>	N
H	Cl	OCH <sub>3</sub>	CH	H	Ħ	CH <sub>2</sub> CH <sub>3</sub>	N
H	CH <sup>3</sup>	CH <sub>3</sub>	CH	. <b>H</b>	H	OCH <sup>3</sup>	n
H	CH <sub>3</sub>	Cl	CH	H	F	СН3	N
H	CH <sup>3</sup>	OCH <sup>3</sup>	CH	Ħ	F	CH <sub>2</sub> CH <sub>3</sub>	N
H	CH <sub>2</sub> CH <sub>3</sub>	CH3	СН	H	F	OCH <sub>3</sub>	N
H	CH <sub>2</sub> CH <sub>3</sub>	Cl	CH	H	Cl	CH <sup>3</sup>	N
H	CH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	СН	H	C1	CH <sub>2</sub> CH <sub>3</sub>	N
H	OCH <sub>3</sub> .	CH3	CH	H	C1 .	осн3	N
H	OCH <sup>3</sup>	Cl	CH	H	CH <sup>3</sup>	CH3	N
H	OCH <sub>3</sub>	OCH <sub>3</sub>	СН	H	CH <sup>3</sup>	СH <sub>2</sub> CH <sub>3</sub>	N
H	OCH <sub>2</sub> CH <sub>3</sub>	CH3	СН	H	CH <sup>3</sup>	осн3	N
H	осн <sup>2</sup> сн <sup>3</sup>	Cl	СН	H	сн <sub>2</sub> сн <sub>3</sub>	CH3	N

R <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	<u>z</u>	R <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	Z
H	СH <sub>2</sub> CH <sub>3</sub>	СН <sub>2</sub> СН <sub>3</sub>	N	н	SOCH <sub>3</sub>	CH <sub>2</sub> CH <sub>3</sub>	N
H	CH <sub>2</sub> CH <sub>3</sub>	осн <sub>3</sub>	n	н	SOCH <sub>3</sub>	OCH <sup>3</sup>	N
H	OCH <sub>3</sub>	CH <sub>3</sub>	N	H	SO2CH3	CH3	N
H	OCH <sub>3</sub>	СH <sub>2</sub> CH <sub>3</sub>	N	H	SO2CH3	CH <sub>2</sub> CH <sub>3</sub>	N
H	OCH <sub>3</sub>	OCH <sub>3</sub>	n	H	SO <sub>2</sub> CH <sub>3</sub>	осн3	N
H	OCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	N	H	SO2CH2CH3	CH3	N
H	осн <sub>2</sub> сн <sub>3</sub>	сн <sub>2</sub> сн <sub>3</sub>	N	H	SO2CH2CH3	CH <sub>2</sub> CH <sub>3</sub>	N
H	OCH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	N	H	SO2CH2CH3	OCH <sub>3</sub>	N
H	C≡CH	CH3	N	H	oc <sub>6</sub> H <sub>5</sub>	CH3	N
H	CECH	СН <sub>2</sub> СН <sub>3</sub>	N	H	oc <sub>6</sub> H <sub>5</sub>	СН <sub>2</sub> СН <sub>3</sub>	N
H	CECH	OCH <sup>3</sup>	N	Ħ	oc <sub>6</sub> H <sub>5</sub>	осн3	N
H	SCH3	CH3	N	CH <sub>3</sub>	H	CH3	СН
H	SCH <sub>3</sub>	СH <sub>2</sub> CH <sub>3</sub>	N	CH <sub>3</sub>	H	Cl	СН
H	SCH <sup>3</sup>	OCH <sub>3</sub>	N	CH <sup>3</sup>	H	OCH3	CH
H	SCH <sub>2</sub> CH <sub>3</sub>	CH3	CH	CH3	F	CH <sup>3</sup>	CH
H	SCH2CH3	Cl	CH	CH3	F	Cl	CH
H	SCH2CH3	OCH3	CH	CH3	F	OCH3	CH
H	SOCH3	CH3	CH	CH <sub>3</sub>	Cl	CH3	CH
H	sосн <sub>3</sub>	Cl	CH	CH3	Cl	Cl	СН
H	SOCH <sup>3</sup>	осн <sup>3</sup>	CH	CH3	Cl	OCH3	CH
H	so <sub>2</sub> cH <sub>3</sub>	CH <sup>3</sup>	СН	CH <sub>3</sub>	CH <sub>3</sub>	CH3	CH
H	SO2CH3	Cl	CH	CH <sub>3</sub>	CH <sub>3</sub>	Cl	CH
H	SO2CH3	OCH <sup>3</sup>	CH	CH <sub>3</sub>	CH3	OCH <sub>3</sub>	CH
H	SO2CH2CH3	CH3	CH	CH <sub>3</sub>	CH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	CH
H	SO2CH2CH3	C1	CH	CH <sub>3</sub>	CH <sub>2</sub> CH <sub>3</sub>	Cl	CH
H	SO2CH2CH3	OCH <sup>3</sup>	СН	CH3	CH <sub>2</sub> CH <sub>3</sub>	OCH3	CH
Ħ	oc <sub>6</sub> H <sub>5</sub>	CH3	CH	CH <sub>3</sub>	OCH3	CH <sub>3</sub>	CH
H	oc <sub>6</sub> H <sub>5</sub>	Cl	CH	CH <sub>3</sub>	OCH <sub>3</sub> .	Cl	CH
H	oc <sub>6</sub> H <sub>5</sub>	OCH3	CH	CH3	OCH3	OCH3	CH
H	SCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	N	CH3	осн <sub>2</sub> сн <sub>3</sub>	CH <sup>3</sup>	СН
H	SCH <sup>2</sup> CH <sup>3</sup>	СН <sub>2</sub> СН <sub>3</sub>	N	CH3	OCH <sub>2</sub> CH <sub>3</sub>	Cl	CH
H	SCH <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	N	CH3	OCH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	CH
H	SOCH <sup>3</sup>	CH3	N	CH3	C≣CH	CH <sup>3</sup>	CH

<u>R</u> 5	R <sup>2</sup>	R <sup>3</sup>	Z	R <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	Z
CH <sub>3</sub>	С≣СН	Cl	CH	CH3	SCH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CH
CH3	C≣CH	OCH <sup>3</sup>	CH	CH <sub>3</sub>		Cl	СН
CH <sub>3</sub>	SCH <sub>3</sub>	CH3	CH	CH3		осн3	СН
CH3	SCH <sub>3</sub>	Cl	CH	CH3		CH3	СН
CH <sub>3</sub>	SCH <sub>3</sub>	OCH <sub>3</sub>	CH	CH <sub>3</sub>		Cl	СН
H	CH <sup>3</sup>	CH <sub>3</sub>	n	СН3		OCH3	СН
H	CH <sup>3</sup>	СH <sub>2</sub> CH <sub>3</sub>	N	CH <sup>3</sup>		CH3	СН
H	CH <sup>3</sup>	осн <sub>3</sub>	N	CH3		Cl	CH
H	F	CH <sup>3</sup>	n	CH <sup>3</sup>	so <sub>2</sub> сн <sub>3</sub>	OCH <sub>3</sub>	СН
H	F	CH <sub>2</sub> CH <sub>3</sub>	N	CH <sub>3</sub>	SO2CH2CH3	CH3	СН
H	F	OCH <sub>3</sub>	N	CH <sub>3</sub>		Cl	СН
H	Cl	CH3	N	CH3	so <sub>2</sub> cH <sub>2</sub> CH <sub>3</sub>	OCH3	CH
H	Cl	CH <sub>2</sub> CH <sub>3</sub>	N	CH3	ос <sub>6</sub> н <sub>5</sub>	CH3	СН
H	Cl	OCH <sup>3</sup>	n	CH <sub>3</sub>	ос <sub>6</sub> н <sub>5</sub>	Cl	CH
H	CH <sub>3</sub>	CH <sup>3</sup>	N	CH3	ос <sub>6</sub> н <sub>5</sub>	OCH <sup>3</sup>	СН
H	CH3	CH <sub>2</sub> CH <sub>3</sub>	N	CH3	SCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	N
Ħ	CH3	OCH <sub>3</sub>	N	CH3	SCH <sub>2</sub> CH <sub>3</sub>	СН <sup>2</sup> СН <sup>3</sup>	N
H	СH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	N	CH3	SCH <sub>2</sub> CH <sub>3</sub>	осн <sup>3</sup>	N
H	CH <sub>2</sub> CH <sub>3</sub>	CH <sub>2</sub> CH <sub>3</sub>	N	CH <sup>3</sup>	SOCH <sub>3</sub>	CH <sup>3</sup>	N
H	CH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	N	CH3	SOCH3	CH <sub>2</sub> CH <sub>3</sub>	N
H	OCH <sup>3</sup>	CH <sup>3</sup>	n	CH <sup>3</sup>	SOCH <sup>3</sup>	OCH <sup>3</sup>	N
H	OCH <sup>3</sup>	CH <sub>2</sub> CH <sub>3</sub>	n	CH3	so <sub>2</sub> ch <sub>3</sub>	CH <sup>3</sup>	N
H	OCH <sup>3</sup>	OCH <sup>3</sup>	N	CH <sub>3</sub>	SO2CH3	CH <sub>2</sub> CH <sub>3</sub>	N
H	OCH <sub>2</sub> CH <sub>3</sub>	CH3	n	CH <sub>3</sub>	SO2CH3	OCH <sup>3</sup>	N
H		CH <sub>2</sub> CH <sub>3</sub>	N	CH <sup>3</sup>	SO2CH2CH3	CH <sup>3</sup>	N
H		OCH <sup>3</sup>	N	CH3	SO2CH2CH3	Сн <sub>2</sub> сн <sub>3</sub>	N
H	CECH	CH <sup>3</sup>	N	CH <sub>3</sub>	SO2CH2CH3	OCH <sup>3</sup>	N
H	CECH	CH <sub>2</sub> CH <sub>3</sub>	Į	CH <sup>3</sup>	oc <sub>6</sub> H <sub>5</sub> .	CH <sub>3</sub>	N
H	C≣CH <sub>.</sub>	OCH <sup>3</sup>			oc <sub>6</sub> H <sub>5</sub>		N
H	SCH <sup>3</sup>	CH <sup>3</sup>		CH <sup>3</sup>	oc <sub>6</sub> H <sub>5</sub>	OCH <sup>3</sup>	N
H		CH <sub>2</sub> CH <sub>3</sub>	N	Na	H	CH <sup>3</sup>	CH
H	SCH <sup>3</sup>	OCH <sup>3</sup>	N	· Na	H	Cl	CH

R <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	<u>z</u>	R <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	<u>z</u>
Na	H	OCH <sub>3</sub>	CH	Na	Cl	CH <sub>2</sub> CH <sub>3</sub>	N
Na	F	CH <sub>3</sub>	CH	Na	Cl	OCH3	N
Na	F	Cl	CH	Na	CH3	CH <sub>3</sub>	N
Na	F	OCH <sub>3</sub>	CH	Na	CH <sup>3</sup>	СН <sub>2</sub> СН <sub>3</sub>	N
Na	Cl	CH3	CH	Na	CH <sup>3</sup>	осн3	N
Na	Cl	Cl	CH	Na	СН <sub>2</sub> СН <sub>3</sub>	CH <sub>3</sub>	N
Na	Cl	OCH <sub>3</sub>	CH	Na	СH <sub>2</sub> CH <sub>3</sub>	СH <sub>2</sub> CH <sub>3</sub>	N
Na	CH <sup>3</sup>	CH3	CH	Na	CH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	N
Na	CH3	Cl	CH	Na	OCH <sub>3</sub>	CH3	N
Na	CH3	OCH <sub>3</sub>	CH	Na	OCH3	СH <sub>2</sub> CH <sub>3</sub>	N
Na	CH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CH	Na	осн <sub>3</sub>	OCH <sub>3</sub>	N
Na	СH <sub>2</sub> CH <sub>3</sub>	Cl	CH	Na	OCH <sub>2</sub> CH <sub>3</sub>	CH3	N
Na	СH <sub>2</sub> СH <sub>3</sub>	OCH <sub>3</sub>	CH	Na	OCH <sub>2</sub> CH <sub>3</sub>	сн <sub>2</sub> сн <sub>3</sub>	N
Na	OCH <sup>3</sup>	CH <sup>3</sup>	CH	Na	осн <sub>2</sub> сн <sub>3</sub>	OCH <sub>3</sub>	N
Na	OCH <sup>3</sup>	Cl	CH	Na	C≣CH	CH <sub>3</sub>	N
Na	OCH <sup>3</sup>	осн <sub>3</sub>	CH	Na	CECH	CH <sub>2</sub> CH <sub>3</sub>	N
Na	OCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	CH	Na	CECH	OCH3	N
Na	OCH <sub>2</sub> CH <sub>3</sub>	Cl	CH	Na	SCH <sub>3</sub>	CH3	N
Na	OCH2CH3	OCH <sup>3</sup>	CH	Na	SCH3	CH <sub>2</sub> CH <sub>3</sub>	N
Na	CECH	CH <sub>3</sub>	CH	Na	SCH <sub>3</sub>	OCH <sup>3</sup>	N
Na	CECH	Cl	CH	Na	SCH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CH
Na	CECH	OCH <sup>3</sup>	CH	Na	SCH <sub>2</sub> CH <sub>3</sub>	Cl	CH
Na	SCH3	CH <sup>3</sup>	CH	Na	SCH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	CH
Na	SCH <sub>3</sub>	Cl	CH	Na	SOCH <sup>3</sup>	CH <sub>3</sub>	CH
Na	SCH3	OCH <sup>3</sup>	CH	Na	SOCH3	Cl	CH
Na	H	CH3	N	Na	SOCH <sub>3</sub>	OCH <sub>3</sub>	CH
Na	H	CH <sub>2</sub> CH <sub>3</sub>	N	Na	SO2CH3	CH <sub>3</sub>	CH
Na	H	OCH3	N	Na	SO2CH3	Cl	CH
Na	F	CH <sub>3</sub>	·N	Na	SO2CH3	OCH <sub>3</sub>	CH
Na	F	CH <sub>2</sub> CH <sub>3</sub>	N	Na	SO2CH2CH3	CH3	CH
Na	P	OCH <sup>3</sup>	N	Na	SO2CH2CH3	Cl	CH
Na	Cl	CH <sup>3</sup>	N	Na	SO2CH2CH3	OCH <sup>3</sup>	CH

R <sup>5</sup>	R <sup>2</sup>	<b>R</b> <sup>3</sup>	Z	R <sup>5</sup>	R <sup>2</sup>	<u>R</u> <sup>3</sup>	Z
Na	oc <sub>6</sub> ¤ <sub>5</sub>	CH3	CH	H•NH2CHMe2	СH <sub>2</sub> CH <sub>3</sub>	OCH3	СН
Na	oc <sub>6</sub> H <sub>5</sub>	Cl	CH	H•NH2CHMe2	OCH3	CH3	CH
Na	oc <sub>6</sub> H <sub>5</sub>	OCH <sup>3</sup>	CH	H•NH <sub>2</sub> CHMe <sub>2</sub>	OCH3	Cl	СН
Na	SCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	N	H•NH2CHMe2	OCH <sub>3</sub>	осн3	СН
Na	SCH <sub>2</sub> CH <sub>3</sub>	CH2CH3	N	H•NH2CHMe2	OCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	СН
Na	SCH <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	осн <sub>2</sub> сн <sub>3</sub>	Cl	СН
Na	SOCH3	CH3	N	H•NH2CHMe2	OCH <sub>2</sub> CH <sub>3</sub>	осн3	CH
Na	SOCH3	CH <sub>2</sub> CH <sub>3</sub>	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	C≣CH	CH3	CH
Na	SOCH3	OCH <sup>3</sup>	N	H•NH2CHMe2	C≣CH	Cl	СН
Na	SO <sub>2</sub> CH <sub>3</sub>	CH3	N	H•NH2CHMe2	CECH	OCH <sub>3</sub>	СН
Na	SO2CH3	сн <sub>2</sub> сн <sub>3</sub>	N	H•NH2CHMe2	SCH <sup>3</sup>	CH <sup>3</sup>	СН
Na	so <sub>2</sub> ch <sub>3</sub>	OCH <sub>3</sub>	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	SCH <sub>3</sub>	Cl	СН
Na	SO2CH2CH3	CH <sup>3</sup>	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	SCH3	OCH3	N
Na	SO2CH2CH3	СH <sub>2</sub> CH <sub>3</sub>	N	H•NH2CHMe2	H	CH3	N
Na	so2ch3ch3	OCH <sup>3</sup>	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	H	Сн <sub>2</sub> сн <sub>3</sub>	N
Na	oc <sub>6</sub> H <sub>5</sub>	CH3	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	H	OCH <sup>3</sup>	N
Na	OC <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> CH <sub>3</sub>	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	F	CH3	N
Na	oc <sub>6</sub> H <sub>5</sub>	OCH <sup>3</sup>	N	H·NH2CHMe2	F	CH <sub>2</sub> CH <sub>3</sub>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	H	СH <sup>3</sup>	СН	H•NH2CHMe2	F	OCH3	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	H	Cl	СН	H•NH2CHMe2	Cl	CH <sub>3</sub>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	H	OCH <sup>3</sup>	CH	H•NH2CHMe2	Cl	СH <sub>2</sub> CH <sub>3</sub>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	F	CH3	CH	H•NH2CHMe2	Cl	OCH <sup>3</sup>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	P	Cl	CH	H•NH2CHMe2	CH <sup>3</sup>	CH <sup>3</sup>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	F	OCH3	CH	H•NH <sub>2</sub> CHMe <sub>2</sub>	CH3	сн <sub>2</sub> сн <sub>3</sub>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>		CH <sup>3</sup>	CH	H•NH2CHMe2	CH <sup>3</sup>	OCH <sup>3</sup>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>		Cl	CH	H•NH2CHMe2	CH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>		OCH <sup>3</sup>	CH	H•NH2CHMe2	CH <sub>2</sub> CH <sub>3</sub>	CH2CH3	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	-	CH <sup>3</sup>	СН	H•NH <sup>2</sup> CHMe <sup>2</sup>		OCH3	N
H•NH <sub>2</sub> CHMe <sub>2</sub> .		C1	CH	H•NH <sub>2</sub> CHMe <sub>2</sub>		CH <sup>3</sup>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>		OCH <sup>3</sup>	СН	H•NH2CHMe2		СH <sub>2</sub> CH <sub>3</sub>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>		CH <sup>3</sup>	CH	H·NH <sub>2</sub> CHMe <sub>2</sub>	OCH3	OCH3	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	CH <sub>2</sub> CH <sub>3</sub>	C1	CH	H·NH <sub>2</sub> CHMe <sub>2</sub>	OCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	N

<b>R</b> <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	<b>z</b>	R <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	Z
H•NH <sub>2</sub> CHMe <sub>2</sub>	OCH <sub>2</sub> CH <sub>3</sub>	СН <sub>2</sub> СН <sub>3</sub>	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	so <sub>2</sub> сн <sub>3</sub>	OCH <sub>3</sub>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	OCH <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	N	H•NH2CHMe2	SO2CH2CH3	CH <sup>3</sup>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	C≣CH	CH <sup>3</sup>	N	H•NH2CHMe2	SO2CH2CH3	CH <sub>2</sub> CH <sub>3</sub>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	С≣СН	СН <sub>2</sub> СН <sub>3</sub>	N	H•NH2CHMe2	SO2CH2CH3	осн3	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	CECH	OCH <sub>3</sub>	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	OC <sub>6</sub> H <sub>5</sub>	CH <sup>3</sup>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	SCH <sub>3</sub>	CH3	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	oc <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> CH <sub>3</sub>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	SCH <sub>3</sub>	СН <sub>2</sub> СН <sub>3</sub>	N	H•NH <sub>2</sub> CHMe <sub>2</sub>	ос <sub>6</sub> н <sub>5</sub>	OCH <sup>3</sup>	N
H•NH <sub>2</sub> CHMe <sub>2</sub>	SCH3	OCH <sup>3</sup>	N	CH <sub>2</sub> CF <sub>3</sub>	H	CH3	СН
H•NH <sub>2</sub> CHMe <sub>2</sub>	SCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	CH	CH <sub>2</sub> CF <sub>3</sub>	H	осн <sub>3</sub>	СН
H•NH <sub>2</sub> CHMe <sub>2</sub>	sch <sub>2</sub> ch <sub>3</sub>	Cl	CH	CH <sub>2</sub> CF <sub>3</sub>	Cl	осн3	СН
H·NH <sub>2</sub> CHMe <sub>2</sub>	SCH <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	CH	CH2CH2C1	F	CH <sup>3</sup>	СН
H•NH <sub>2</sub> CHMe <sub>2</sub>	SOCH3	CH <sup>3</sup>	CH	CH2CH2C1	F	осн3	СН
H·NH <sub>2</sub> CHMe <sub>2</sub>	SOCH <sup>3</sup>	Cl	CH	CH2CH2C1	н	OCH3	CH
H•NH2CHMe2	SOCH <sub>3</sub>	OCH <sub>3</sub>	CH	CH <sub>2</sub> CH=CH <sub>2</sub>	Cl	CH3	СН
H·NH <sub>2</sub> CHMe <sub>2</sub>	so <sub>2</sub> cH <sub>3</sub>	CH3	CH	CH <sub>2</sub> CH=CH <sub>2</sub>	Cl	OCH <sub>3</sub>	CH
H•NH2CHMe2	SO <sub>2</sub> CH <sub>3</sub>	Cl	CH	CH <sub>2</sub> CH=CH <sub>2</sub>	H	OCH3	СН
H•NH <sub>2</sub> CHMe <sub>2</sub>	SO <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	СН	CH <sub>2</sub> C≣CH	CH3	CH3	CH
H•NH <sub>2</sub> CHMe <sub>2</sub>	SO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	CH.	CH <sub>2</sub> C≣CH	CH3	OCH <sup>3</sup>	СН
H•NH <sub>2</sub> CHMe <sub>2</sub>	SO2CH2CH3	Cl	СН	CH <sup>2</sup> C≣CH	H	OCH <sup>3</sup>	CH
H•NH <sub>2</sub> CHMe <sub>2</sub>	so <sup>2</sup> сн <sup>2</sup> сн <sup>3</sup>	OCH <sup>3</sup>	СН	CH <sub>2</sub> -4-C1-C <sub>6</sub> H <sub>4</sub>	CH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	СН
H•NH <sub>2</sub> CHMe <sub>2</sub>	oc <sub>6</sub> H <sub>5</sub>	CH <sub>3</sub>	CH	CH <sub>2</sub> -4-C1-C <sub>6</sub> H <sub>4</sub>	CH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	CH
H•NH <sub>2</sub> CHMe <sub>2</sub>	OC <sub>6</sub> H <sub>5</sub>	Cl	CH	CH2-4-C1-C6H4	H	OCH <sup>3</sup>	CH
H•NH <sub>2</sub> CHMe <sub>2</sub>	oc <sub>6</sub> H <sub>5</sub>	OCH <sup>3</sup>	CH	$CH_2-2-F-C_6H_4$	OCH <sup>3</sup>	CH <sub>3</sub>	CH
	SCH <sub>2</sub> CH <sub>3</sub>	CH3	N	CH2-2-F-C6H4	OCH <sup>3</sup>	OCH3	CH
H•NH <sub>2</sub> CHMe <sub>2</sub>	SCH2CH3	СH <sub>2</sub> CH <sub>3</sub>	N	$CH_2-2-F-C_6H_4$	H	OCH3	СН
H•NH <sub>2</sub> CHMe <sub>2</sub>		OCH <sub>3</sub>	N	CH2CH2OCH3	OCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	CH
H•NH <sub>2</sub> CHMe <sub>2</sub>	SOCH <sub>3</sub>	CH <sup>3</sup>	N	CH2CH2OCH3	OCH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	CH
H•NH2CHMe2	SOCH <sub>3</sub>	CH2CH3	N	CH2CH2OCH3	H	OCH <sup>3</sup>	CH
H•NH2CHMe2.		OCH <sup>3</sup>	N	Li	CECH	CH <sup>3</sup>	CH
H•NH2CHMe2		CH <sup>3</sup>	N	Li	C≡CH	OCH3	CH
H•NH2CHMe2	SO <sub>2</sub> CH <sub>3</sub>	CH <sub>2</sub> CH <sub>3</sub>	N	Li	н	осн3	СН

<b>R</b> <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	Z	1
A	A	A	4	
K	sch3	CH3	СН	
ĸ	scн <sub>3</sub>	осн <sub>3</sub>	СН	
K	H	OCH <sub>3</sub>	СН	
CH <sub>2</sub> CF <sub>3</sub>	H	CH3	N	
CH <sub>2</sub> CF <sub>3</sub>	H	OCH3	n	
CH <sub>2</sub> CF <sub>3</sub>	F	OCH <sub>3</sub>	N	
CH <sub>2</sub> CH <sub>2</sub> C1	F	CH <sup>3</sup>	N	
CH <sub>2</sub> CH <sub>2</sub> Cl	F	осн <sub>3</sub>	N	
CH <sub>2</sub> CH <sub>2</sub> C1	H	осн <sub>3</sub>	N	
CH <sub>2</sub> CH=CH <sub>2</sub>	Cl	CH3	N	
CH <sub>2</sub> CH=CH <sub>2</sub>	Cl	OCH3	N	
CH <sub>2</sub> CH=CH <sub>2</sub>	Cl	OCH <sub>3</sub>	N	
CH <sub>2</sub> CΞCH	CH <sup>3</sup>	CH3	N	
CH <sub>2</sub> C≅CH	CH <sub>3</sub>	OCH <sup>3</sup>	. и	
CH <sub>2</sub> C≡CH	CH <sup>3</sup>	OCH <sup>3</sup>	и	
$CH_2-4-C1-C_6H_4$	СH <sub>2</sub> CH <sub>3</sub>	CH3	N	
CH <sub>2</sub> -4-C1-C <sub>6</sub> H <sub>4</sub>	сн <sub>2</sub> сн <sub>3</sub>	OCH3	N	
CH <sub>2</sub> -4-C1-C <sub>6</sub> H <sub>4</sub>	CH <sub>2</sub> CH <sub>3</sub>	OCH3	N	
CH <sub>2</sub> -2-F-C <sub>6</sub> H <sub>4</sub>	OCH <sup>3</sup>	CH3	N	
$CH_2-2-F-C_6H_4$		OCH <sup>3</sup>	N	
$CH_2-2-F-C_6H_4$	OCH <sub>3</sub>	OCH <sub>3</sub>	N	
CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	OCH <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	N	
CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	OCH <sub>2</sub> CH <sub>3</sub>	OCH3	n	
сн <sub>2</sub> сн <sub>2</sub> осн <sub>3</sub>	OCH <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	N	
Li	CECH	CH3	N	
Li	CECH	OCH <sup>3</sup>	N	
Li	С≣СН	OCH <sup>3</sup>	и	
K	SCH <sub>3</sub>	CH <sup>3</sup>	N	
K	SCH3	OCH <sup>3</sup>	N	
K	SCH3	OCH <sub>3</sub>	N	
H·NHEt <sub>2</sub>	SCH <sub>2</sub> CH <sub>3</sub>	CH3	СН	
H•NHEt2	SCH <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	СН	
H•NHEt2	H	OCH <sup>3</sup>	СН	

R <sup>5</sup>	R <sup>2</sup>	R <sup>3</sup>	Z
Cay	so <sub>2</sub> сн <sub>3</sub>	CH <sup>3</sup>	CE
Cay	SO2CH3	Cl	CH
Cay	H	OCH <sub>3</sub>	CH
H•NHEt2	SCH2CH3	CH <sup>3</sup>	CH
H•NHEt2	SCH2CH3	OCH <sub>3</sub>	СН
H•NHEt2	SCH2CH3	OCH <sub>3</sub>	СН
Cay	so <sub>2</sub> ch <sub>3</sub>	CH3	CH
Cay	so <sub>2</sub> cH <sub>3</sub>	СН <sub>2</sub> СН <sub>3</sub>	СН
Cay	SO <sub>2</sub> CH <sub>3</sub>	OCH3	СН

#### TABLE 3

A	R <sup>6</sup>	x	. <b>R</b> <sup>1</sup>	A	R <sup>6</sup>	X	R <sup>1</sup>
СН	H	F	2-CO <sub>2</sub> H	COCH3	H	F	2-CO <sub>2</sub> н
CH	H	F	2-CO <sub>2</sub> CH <sub>3</sub>	сосн3	H	F	2-CO <sub>2</sub> CH <sub>3</sub>
CH	H	F	2-CO <sub>2</sub> CH <sub>2</sub> Ph	COCH <sub>3</sub>	H	F	2-CO <sub>2</sub> CH <sub>2</sub> Ph
CH	H	Cl	2-CO <sub>2</sub> H	COCH3	H	Cl	2-CO <sub>2</sub> н
CH	H	Cl	2-CO <sub>2</sub> CH <sub>3</sub>	сосн3	H	Cl	2-CO <sub>2</sub> CH <sub>3</sub>
CH	H	Cl	2-CO <sub>2</sub> CH <sub>2</sub> Ph	сосн3	H	Cl	2-CO <sub>2</sub> CH <sub>2</sub> Ph
CH	H	CH3	2-CO <sub>2</sub> H	COCH <sup>3</sup>	H	CH <sub>3</sub>	2-CO <sub>2</sub> н
CH	H	CH3	2-CO <sub>2</sub> CH <sub>3</sub>	сосн3	H	CH <sub>3</sub>	2-CO <sub>2</sub> CH <sub>3</sub>
CH	H	CH <sub>3</sub>	2-CO <sub>2</sub> CH <sub>2</sub> Ph	сосн3	Ħ	CH3	2-CO <sub>2</sub> CH <sub>2</sub> Ph
CCH <sup>3</sup>	H	F	2-CO <sub>2</sub> H	CC1	H	F	2-CO <sub>2</sub> H
CCH3	Ħ	F	2-CO <sub>2</sub> CH <sub>3</sub>	CCl	H	F	2-CO <sub>2</sub> CH <sub>3</sub>
CCH <sup>3</sup>	H	<b>F</b> .	2-CO <sub>2</sub> CH <sub>2</sub> Ph	CCl	H	F	2-CO <sub>2</sub> CH <sub>2</sub> Ph
CCH <sup>3</sup>	H	Cl	2-CO <sub>2</sub> H	CC1	H	Cl	2-CO <sup>2</sup> H
CCH <sup>3</sup>	H	Cl	2-CO <sub>2</sub> CH <sub>3</sub>	CC1	H	Cl	2-CO <sub>2</sub> CH <sub>3</sub>
CCH <sup>3</sup>	H	Cl	2-CO <sub>2</sub> CH <sub>2</sub> Ph	CC1	H .	Cl	2-CO <sub>2</sub> CH <sub>2</sub> Ph
CCH <sub>3</sub>	H .	CH3	2-CO <sub>2</sub> H	CC1	H	CH <sub>3</sub>	2-CO <sub>2</sub> H
CCH <sup>3</sup>	H	CH <sup>3</sup>	2-CO <sub>2</sub> CH <sub>3</sub>	CC1	H	CH3	2-CO <sub>2</sub> CH <sub>3</sub>
CCH3	H	CH3	2-CO <sub>2</sub> CH <sub>2</sub> Ph	CCl	H	CH <sub>3</sub>	2-CO <sub>2</sub> CH <sub>2</sub> Ph

A	R <sup>6</sup>	X	R <sup>1</sup>	A	<u>R</u> 6	X	R <sup>1</sup>
N	H	F	2-CO <sub>2</sub> H	N	H	F	4-CO <sub>2</sub> H
N	H	P	2-CO <sub>2</sub> CH <sub>3</sub>	N	H	F	4-CO <sub>2</sub> CH <sub>3</sub>
n	H	F	2-CO2CH2Ph	N	H	F	4-CO <sub>2</sub> CH <sub>2</sub> Ph
n	H	Cl	2-CO <sub>2</sub> H	N	H	Cl	4-CO <sub>2</sub> H
N	H	Cl	2-CO <sub>2</sub> CH <sub>3</sub>	N	H	Cl	4-CO <sub>2</sub> CH <sub>3</sub>
M.	H	Cl	2-CO <sub>2</sub> CH <sub>2</sub> Ph	N	H	Cl	4-CO <sub>2</sub> CH <sub>2</sub> Ph
N	H	CH <sub>3</sub>	2-CO2H	N	H	CH <sub>3</sub>	4-CO <sub>2</sub> H
N	H	CH <sub>3</sub>	2-CO <sub>2</sub> CH <sub>3</sub>	N	H	CH <sub>3</sub>	4-CO <sub>2</sub> CH <sub>3</sub>
n	H	CH <sup>3</sup>	2-CO <sub>2</sub> CH <sub>2</sub> Ph	N	H	CH <sub>3</sub>	4-CO <sub>2</sub> CH <sub>2</sub> Ph
n	4-F	F	2-CO2H	n	2-F	F	4-CO <sub>2</sub> H
N	4-F	F	2-CO2CH3	n	2-F	F	4-CO <sub>2</sub> CH <sub>3</sub>
N	4-F	F	2-CO2CH2Ph	N	2-F	F	4-CO <sub>2</sub> CH <sub>2</sub> Ph
N	5-OCH <sub>3</sub>	CH3	2-CO2H	N	5-0CH <sub>3</sub>	сн3	4-CO <sub>2</sub> H
N	5-0CH <sub>3</sub>	CH3	2-CO <sub>2</sub> CH <sub>3</sub>	N	5-0CH <sub>3</sub>	CH <sub>3</sub>	4-CO <sub>2</sub> CH <sub>3</sub>
N	5-0CH <sub>3</sub>	CH <sup>3</sup>	2-CO <sub>2</sub> CH <sub>2</sub> Ph	N	5-OCH <sub>3</sub>	CH3	4-CO2CH2Ph
n	6-SCH <sub>3</sub>	Cl	2-CO <sub>2</sub> H	N	6-SCH <sub>3</sub>	Cl	4-CO <sub>2</sub> H
N	6-SCH3	Cl	2-CO <sub>2</sub> CH <sub>3</sub>	n	6-SCH <sub>3</sub>	Cl	4-CO <sub>2</sub> CH <sub>3</sub>
N	6-SCH <sub>3</sub>	Cl	2-CO <sub>2</sub> CH <sub>2</sub> Ph	N	6-SCH <sub>3</sub>	Cl	4-CO <sub>2</sub> CH <sub>2</sub> Ph
N	H	CN	2-CO <sub>2</sub> H	N	H	CIN	4-CO <sub>2</sub> H
			1				

## TABLE 4

¥	R <sup>1</sup>	R <sup>3</sup>	<u>z</u>	¥	<u>R</u> 1	R <sup>3</sup>	<u>z</u>
2-CH <sub>2</sub>	CO <sub>2</sub> H	OCH <sub>3</sub>	СН	4-CH <sub>2</sub>	_	осн3	СН
2-CH <sub>2</sub>	CO2CH3	OCH <sup>3</sup>	CH	4-CH <sub>2</sub>	CO <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	CH
2-CH <sub>2</sub>	CO2CH2C6H5	OCH <sup>3</sup>	СН	4-CH <sub>2</sub>	CO2CH2C6H5	OCH <sub>3</sub>	CH
2-CH <sub>2</sub>	CO <sup>2</sup> H	CH <sup>3</sup>	CH	4-CH <sub>2</sub>	CO <sub>2</sub> H	CH <sup>3</sup>	CH
2-CH <sub>2</sub>	CO <sup>2</sup> H	CH <sup>3</sup>	N	4-CH <sub>2</sub>	со <sub>2</sub> н	CH <sub>3</sub>	N
2-CHCN	CO <sub>2</sub> H	OCH <sub>3</sub>	СН	4-CHCN	CO <sup>2</sup> H	OCH <sub>3</sub>	СН
2-CHCN	CO2CH3	OCH <sup>3</sup>	СН	4-CHCN	CO2CH3	OCH <sup>3</sup>	СН
2-CHCN	со <sub>2</sub> сн <sub>2</sub> с <sub>6</sub> н <sub>5</sub>	OCH <sup>3</sup>	CH	4-CHCN	CO2CH2C6H5	OCH <sup>3</sup>	CH
2-CHCN	CO <sup>2</sup> H	CH <sup>3</sup>	CH	4-CHCN	CO <sup>2</sup> H	CH3	CH
2-CHCN	CO <sup>2</sup> H	CH <sub>3</sub>	N	4-CHCN	CO <sup>2</sup> H	CH3	N

TABLE 5

¥	R <sup>1</sup>	R <sup>3</sup>	Z	¥	R <sup>1</sup>	R <sup>3</sup>	<u>z</u>
2-CH <sub>2</sub>	3-CO <sub>2</sub> H	осн3	СН	3-CH <sub>2</sub>	4-CO <sub>2</sub> H	OCH <sub>3</sub>	СН
2-CH <sub>2</sub>	3-CO <sub>2</sub> CH <sub>3</sub>	осн <sup>3</sup>	СН	3-CH <sub>2</sub>	4-CO <sub>2</sub> CH <sub>3</sub>	осн <sup>3</sup>	CH
2-CH <sub>2</sub>	3-CO <sub>2</sub> CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	OCH <sup>3</sup>	СН	3-CH <sub>2</sub>	4-CO <sub>2</sub> CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	•	СН
2-CH <sub>2</sub>	3-CO <sub>2</sub> H	CH <sup>3</sup>	CH	3-CH <sub>2</sub>	4-CO <sub>2</sub> H	CH <sub>3</sub>	CH
2-CH <sub>2</sub>	3-CO <sub>2</sub> H	CH3	N	3-CH <sub>2</sub>	4-CO <sub>2</sub> H	CH <sub>3</sub>	N
2-CHCN	3-CO <sup>2</sup> H	OCH3	СН	3-CHCN	4-CO <sub>2</sub> H	OCH <sub>3</sub>	CH
2-CHCN	3-CO <sub>2</sub> CH <sub>3</sub>	осн3	СН	3-CHCN	4-CO <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	СН
2-CHCN	3-со <sub>2</sub> сн <sub>2</sub> с <sub>6</sub> н <sub>5</sub>	OCH3	СН	3-CHCN	4-CO <sub>2</sub> CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	•	СН
2-CHCN	3-CO2H	CH <sub>3</sub>	СН	3-CHCN	4-CO <sub>2</sub> H	CH3	CH
2-CHCN	3-CO2H	CH <sub>3</sub>	N	3-CHCN	4-CO <sub>2</sub> H	CH3	N
6-CH <sub>2</sub>	5-CO <sub>2</sub> H	осн3	СН	7-CH <sub>2</sub>	8-CO <sub>2</sub> H	OCH <sub>3</sub>	CH
6-CH <sub>2</sub>	5-co <sub>2</sub> cH <sub>3</sub>	OCH3	СН	7-CH <sub>2</sub>	8-CO <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	СН
6-CH <sub>2</sub>	5-CO <sub>2</sub> CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	OCH <sup>3</sup>	СН	7-CH <sub>2</sub>	8-CO <sub>2</sub> CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	_	СН
6-CH <sub>2</sub>	5-со <sub>2</sub> н	сн3	CH	7-CH <sub>2</sub>	8-CO <sub>2</sub> H	CH <sub>3</sub>	СН
6-CH <sub>2</sub>	5-CO <sub>2</sub> H	CH <sup>3</sup>	N	7-CH <sub>2</sub>	8-CO2H	CH <sub>3</sub>	N
6-CHCN	5-CO <sub>2</sub> H	OCH <sub>3</sub>	СН	7-CHCN	8-CO <sub>2</sub> H	OCH <sub>3</sub>	СН
6-CHCN	5-со <sub>2</sub> сн <sub>3</sub>	OCH3	СН	7-CHCN	8-CO <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	CH
6-CHCN	5-CO <sub>2</sub> CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	OCH3	СН	7-CHCN	8-CO <sub>2</sub> CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	•	СН
6-CHCN	5-CO2H	CH <sub>3</sub>	CH	7-CHCN	8-CO <sub>2</sub> H	CH3	СН
6-CHCN	5-CO <sub>2</sub> H	CH3	n	7-CHCN	8-CO <sup>2</sup> H	CH <sub>3</sub>	N
		-			-	3	

# TABLE 6

$$\mathbb{R}^{6} \xrightarrow{\begin{array}{c} 5 \\ 7 \end{array}} \xrightarrow{\begin{array}{c} 4 \\ 8 \end{array}} \xrightarrow{\mathbb{R}^{1}} \mathbb{Y} \xrightarrow{\mathbb{N}} \mathbb{Z}$$

$$\mathbb{C}_{H_{3}}$$

¥	R <sup>1</sup>	R <sup>3</sup>	R <sup>6</sup>	Z
- (50)				
2-CH <sub>2</sub>	1-CHO	OCH <sup>3</sup>	H	CH
2-CH <sub>2</sub>	1-CO2H	OCH <sub>3</sub>	8-C1	CH
2-CH <sub>2</sub>	1-CO <sub>2</sub> H	CH <sup>3</sup>	H	CH
2-CH <sub>2</sub>	1-CO2H	OCH <sup>3</sup>	H	CH
2-CH <sub>2</sub>	1-CO <sub>2</sub> CH <sub>3</sub>	CH <sup>3</sup>	H	N
2-CH <sub>2</sub>	1-CO <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	H	СH
2-CH(OH)	1-CHO	OCH3	H	СН
2-CH(OH)	1-CO2H	OCH <sub>3</sub>	H	СН
2-CH(OH)	1-CO2H	CH <sub>3</sub>	H	N
2-CH(OH)	1-CO2CH3	OCH <sup>3</sup>	H	CH
2-CH(OH)	1-со <sub>2</sub> сн <sub>2</sub> с <sub>6</sub> н <sub>5</sub>	OCH3	Ħ	CH
2-CHC1	1-CHO	OCH3	H	CH
2-CHC1	1-со <sup>2</sup> н	OCH <sup>3</sup>	H	CH
2-CHC1	1-CO <sub>2</sub> H	CH <sup>3</sup>	H	CH
2-CHC1	1-CO <sub>2</sub> CH <sub>3</sub>	OCH3	H	N.
2-CHC1	1-CO <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	H	CN
2-CHCN	1-CHO	OCH3	H	СН
2-CHCN	1-CO2H	OCH3	H	CH
2-CHCN	1-co <sup>2</sup> H	OCH3	6-C1	CH
2-CHCN	1-CO <sub>2</sub> Na	OCH3	H	CH
2-CHCN	1-CO <sub>2</sub> Na	OCH <sup>3</sup>	H	N

## TABLE 7

A	<b>Y</b> ,	R <sup>3</sup>	<u>z</u>	A	¥	R <sup>3</sup>	<u>z</u>
CH	2-снсо <sub>2</sub> сн <sub>3</sub>	осн <sub>3</sub>	СН	N	2-CHCO <sub>2</sub> CH <sub>3</sub>	OCH3	СН
CH	2-CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	СН	N	2-CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	осн3	СН
CH	2-CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH3	CH	N	2-CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	СН
CH	2-CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH3	N	N	2-CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	CH3	N
CH	2-CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	СН	N	2-CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	СН
CH	2-CHCO <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	осн3	CH	N	2-CHCO2CH2CH2CH3		CH
CH	2-CHCO2CH2C6H4	OCH <sub>3</sub>	СН	N	2-CHCO2CH2C6H4	осн3	CH
CH	2-CHCO2CH2C6H4	CH <sup>3</sup>	n	n	2-CHCO2CH2C6H4	CH3	N
CH	2-CHCO <sub>2</sub> CH <sub>2</sub> CH=CH <sub>2</sub>	CH3	CH	N	2-CHCO2CH2CH=CH2	_	CH
CH	2-CHCO <sub>2</sub> CH <sub>2</sub> CH=CH <sub>2</sub>	OCH <sup>3</sup>	СН	N	2-CHCO <sub>2</sub> CH <sub>2</sub> CH=CH <sub>2</sub>	_	СН
CH	2-CHCO2CH2CECH	осн <sup>3</sup>	CH	N	2-CHCO2CH2CECH	осн3	СН
C-CH <sup>3</sup>	2-CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	CH	N-O	2-CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	осн3	СН
C-OCH3	3-CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	СН	N-0	3-CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	OCH3	СН
C-C1	3-CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	OCH3	CH	N-0	3-CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	СН
C-F	3-CO <sub>2</sub> CH <sub>2</sub> CH <sub>3</sub>	OCH <sup>3</sup>	CH		- J	J	

#### Formulations

Useful formulations of the compounds of Formula I can be prepared in conventional ways. They include 5 dusts, granules, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates and the like. Many of these may be applied directly. Sprayable formulations can be 10 extended in suitable media and used at spray volumes of from a few liters to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations, broadly, contain about 0.1% to 99% by weight of active ingredient(s) and at least one of 15 (a) about 0.1% to 20% surfactant(s) and (b) about 1% to 99.9% solid or liquid diluent(s). More specifically, they will contain these ingredients in the following approximate proportions:

20 Table 8

	Active	Weigh	t Percent*
		Diluent(s)	Surfactant(s)
Wettable Powders	20-90	0-74	1-10
Oil Suspensions,	3-50	40-95	0-15
Emulsions, Solutions,	,		
(including Emulsifial	ble		
Concentrates)			
Aqueous Suspension	10-50	40-84	1-20
Dusts	1-25	70-99	0-5
Granules and Pellets	0.1-95	5-99.9	0-15
High Strength	90-99	. 0-10	0-2
Compositions			
	Oil Suspensions, Emulsions, Solutions (including Emulsifial Concentrates) Aqueous Suspension Dusts Granules and Pellets High Strength	Wettable Powders 20-90 Oil Suspensions, 3-50 Emulsions, Solutions, (including Emulsifiable Concentrates) Aqueous Suspension 10-50 Dusts 1-25 Granules and Pellets 0.1-95 High Strength 90-99	Active Ingredient Diluent(s)  Wettable Powders 20-90 0-74 Oil Suspensions, 3-50 40-95 Emulsions, Solutions, (including Emulsifiable Concentrates) Aqueous Suspension 10-50 40-84 Dusts 1-25 70-99 Granules and Pellets 0.1-95 5-99.9 High Strength 90-99 0-10

Active ingredient plus at least one of a Surfactant or a Diluent equals 100 weight percent.

Lower or higher levels of active ingredient can, of course, be present depending on the intended use and the physical properties of the compound. Higher ratios of surfactant to active ingredient are sometimes desirable, and are achieved by incorporation into the formulation or by tank mixing.

Typical solid diluents are described in Watkins, et al., "Handbook of Insecticide Dust Diluents and 10 Carriers", 2nd Ed., Dorland Books, Caldwell, New Jersey, but other solids, either mined or manufactured, may be used. The more absorptive diluents are preferred for wettable powders and the denser ones for dusts. Typical liquid diluents and solvents 15 are described in Marsden, "Solvents Guide," 2nd Ed., Interscience, New York, 1950. Solubility under 0.1% is preferred for suspension concentrates; solution concentrates are preferably stable against phase separation at 0°C. "McCutcheon's Detergents and 20 Emulsifiers Annual", MC Publishing Corp., Ridgewood, New Jersey, as well as Sisely and Wood, "Encyclopedia of Surface Active Agents", Chemical Publishing Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of 25 additives to reduce foaming, caking, corrosion, microbiological growth, etc.

The methods of making such compositions are well known. Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer or fluid energy mill. Suspensions are prepared by wet milling (see, for example, Littler, U.S. Patent 3,060,084). Granules and pellets may be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See J. E.

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Browning, "Agglomeration", Chemical Engineering,
December 4, 1967, pp. 147ff. and "Perry's Chemical
Engineer's Handbook", 5th Ed., McGraw-Hill, New York,
1973, pp. 8-57ff.

For further information regarding the art of formulation, see for example:

H. M. Loux, U.S. Patent 3,235,361, February 15, 1966, Col. 6, line 16 through Col. 7, line 19 and Examples 10 through 41;

R. W. Luckenbaugh, U.S. Patent 3,309,192, March 14, 1967, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132,

15 138-140, 162-164, 166, 167 and 169-182;

H. Gysin and E. Knusli, U.S. Patent 2,891,855, June 23, 1959, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4;

- G. C. Klingman, "Weed Control as a Science",
  20 John Wiley and Sons, Inc., New York, 1961, pp. 81-96;
  and
  - J. D. Fryer and S. A. Evans, "Weed Control Hand-book", 5th Ed., Blackwell Scientific Publications, Oxford, 1968, pp. 101-103.
- In the following examples, all parts are by weight unless otherwise indicated.

#### Example A

### Wettable Powder

30 2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-

	methyl-benzoic acid	
	sodium alkylnaphthalenesulfonate	2%
	sodium ligninsulfonate	2%
	synthetic amorphous silica	3%
35	kaolinite	13%

The ingredients are blended, hammer-milled until all the solids are essentially under 50 microns, reblended, and packaged.

example B	Ex	aπ	pl	е	В
-----------	----	----	----	---	---

	EAGINDIE B	
	Wettable Powder	
5	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	50%
	sodium alkylnaphthalenesulfonate	2%
	low viscosity methyl cellulose	2%
	diatomaceous earth	46%
10	The ingredients are blended, coarsely h	
	milled and then air-milled to produce particl	es essen-
	tially all below 10 microns in diameter. The	product
	is reblended before packaging.	^
15	Example C	
	Granule	
	Wettable Powder of Example B	5%
	attapulgite granules	95%
	(U.S.S. 20-40 mesh; 0.84-0.42 mm)	
20		25%
	solids is sprayed on the surface of attapulgit	:е
	granules in a double-cone blender. The granul	les
	are dried and packaged.	
25		
25	Example D	
	Extruded Pellet	
	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	25%
30	anhydrous sodium sulfate	10%
30	crude calcium ligninsulfonate	5%
	sodium alkylnaphthalenesulfonate	1%
	calcium/magnesium bentonite	59%
	The ingredients are blended, hammer-mill	ed and
35	then moistened with about 12% water. The mixt	ure is
. J	extruded as cylinders about 3 mm diameter which	h are
	cut to produce pellets about 3 mm long. These	may be

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used directly after drying, or the dried pellets may be crushed to pass a U.S.S. No. 20 sieve (0.84 mm openings). The granules held on a U.S.S. No. 40 sieve (0.42 mm openings) may be packaged for use and the fines recycled.

#### Example E

## 10 Oil Suspension

2-[4,6-dimethoxy-2-pyrimidiny1)methy1]-6-methyl-benzoic acid

25%

5%

polyoxyethylene sorbitol hexaoleate highly aliphatic hydrocarbon oil

70%

The ingredients are ground together in a sand mill until the solid particles have been reduced to under about 5 microns. The resulting thick suspension may be applied directly, but preferably after being extended with oils or emulsified in water.

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### Example F

### Wettable Powder

2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-

methyl-benzoic acid

sodium alkylnaphthalenesulfonate

sodium ligninsulfonate 4%

low viscosity methyl cellulose attapulgite

69%

20%

4%

3%

The ingredients are thoroughly blended. After grinding in a hammer-mill to produce particles essentially all below 100 microns, the material is reblended and sifted through a U.S.S. No. 50 sieve (0.3 mm opening) and packaged.

	Example G	
	Low Strength Granule	
5	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	1%
	N, N-dimethylformamide	9%
	attapulgite granules	90%
	(U.S.S. 20-40 sieve)	
10	The active ingredient is dissolved in the	e solvent
	and the solution is sprayed upon dedusted gran	ules in
	a double cone blender. After spraying of the	solution
	has been completed, the blender is allowed to	
	a short period and then the granules are package	
15	-	
	Example H	
	Aqueous Suspension	
	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	40%
20	polyacrylic acid thickener	0.3%
	dodecylphenol polyethylene glycol ether	0.5%
	disodium phosphate	1%
	monosodium phosphate	0.5%
	polyvinyl alcohol	1.0%
25	water	5,6.7%
	The ingredients are blended and ground to	gether
	in a sand mill to produce particles essentially	all
	under 5 microns in size.	
0	Example I	
	Solution	
	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	5%
5	water	95%
5	The salt is added directly to the water w	ith

3 stirring to produce the solution, which may then be packaged for use.

### Example J

### Low Strength Granule

5 2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-

methyl-benzoic acid

0.1%

attapulgite granules

99.9%

(U.S.S. 20-40 mesh)

The active ingredient is dissolved in a solvent
and the solution is sprayed upon dedusted granules in
a double-cone blender. After spraying of the solution
has been completed, the material is warmed to evaporate
the solvent. The material is allowed to cool and then
packaged.

15

#### Example K

#### Granule

2-[4,6-dimethoxy-2-pyrimidiny1)methy1]-6-

methyl-benzoic acid

80%

20 wetting agent

packaged for use.

1%

crude ligninsulfonate salt (containing

10%

5-20% of the natural sugars)

attapulgite clay

9%

The ingredients are blended and milled to pass
through a 100 mesh screen. This material is then added
to a fluid bed granulator, the air flow is adjusted to
gently fluidize the material, and a fine spray of water
is sprayed onto the fluidized material. The fluidization and spraying are continued until granules of the
desired size range are made. The spraying is stopped,
but fluidization is continued, optionally with heat,
until the water content is reduced to the desired
level, generally less than 1%. The material is then
discharged, screened to the desired size range,
generally 14-100 mesh (1410-149 microns), and

#### Example L

## High Strength Concentrate

5 2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-

methyl-benzoic acid

99%

silica aerogel

0.5%

synthetic amorphous silica

0.5%

The ingredients are blended and ground in a lo hammer-mill to produce a material essentially all

passing a U.S.S. No. 50 screen (0.3 mm opening). The concentrate may be formulated further if necessary.

### Example M

### 15 Wettable Powder

2-[4,6-dimethoxy-2-pyrimidiny1)methy1]-6-

methyl-benzoic acid

90%

dioctyl sodium sulfosuccinate

0.1%

synthetic fine silica

9.9%

The ingredients are blended and ground in a hammer-mill to produce particles essentially all below 100 microns. The material is sifted through a U.S.S. No. 50 screen and then packaged.

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### Example N

#### Wettable Powder

2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-

methyl-benzoic acid

40%

sodium ligninsulfonate

20%

30 montmorillonite clay

40%

The ingredients are thoroughly blended, coarsely hammer-milled and then air-milled to produce particles essentially all below 10 microns in size. The material is reblended and then packaged.

	Example O	
	Oil Suspension	
5	2-[4,6-dimethoxy-2-pyrimidiny1)methy1]-6-	
	methyl-benzoic acid	35%
	blend of polyalcohol carboxylic	6%
	esters and oil soluble petroleum	
	sulfonates	
10	xylene	59%
	The ingredients are combined and ground	together
	in a sand mill to produce particles essential	ly all
	below 5 microns. The product can be used dire	ectly,
	extended with oils, or emulsified in water.	
15		
	Example P	
	<u>Dust</u>	
	2-[4,6-dimethoxy-2-pyrimidiny1)methy1]-6-	
	methyl-benzoic acid	10%
20	attapulgite	10%
	Pyrophyllite	80%
	The active ingredient is blended with at	tapul-
	gite and then passed through a hammer-mill to	produce
	particles substantially all below 200 microns.	The
25	ground concentrate is then blended with powder	ed
	pyrophyllite until homogeneous.	
	Example O	
	Emulsifiable Concentrate	
30	2-[4,6-dimethoxy-2-pyrimidiny1)methy1]-6-	
	methyl-benzoic acid	10%
	chlorobenzene	84%
	sorbitan monostearate and polyoxyethyler	ıe
	condensates thereof	6%
25	The ingredients are combined and stirred	

The ingredients are combined and stirred to produce a solution which can be emulsified in water for application.

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### **Utility**

Test results indicate that compounds of this
invention are active postemergence and preemergence
herbicides. These compounds are useful for the
control of selected grass and broadleaf weeds with
tolerance to important agronomic crops which include,
but are not limited to barley (Hordeum vulgare), corn
(Zea mays), cotton (Gossypium hirsutum), and wheat
(Triticum aestivum). Weed species controlled include,
but are not limited to cocklebur (Xanthium
pensylvanicum), teaweed (Sida spinosa), and velvetleaf
(Abutilon theophrasti).

These compounds also have utility for complete control and/or selected control of vegetation in specified areas such as around storage tanks, parking lots, highways, and railways, and in fallow crop, citrus, and plantation crop areas. Alternatively, these compounds are useful to modify plant growth.

A herbicidally effective amount of the compounds of this invention is determined by a number of factors. These factors include: formulation selected, method of application, amount and type of vegetation present, growing conditions, etc. In general terms, a herbicidally effective amount of the compounds of the invention is applied at rates from 0.004 to 20 kg/ha with a preferred rate range of 0.025 to 2 kg/ha. One skilled in the art can easily determine the application rate needed for the desired level of weed control.

Compounds of this invention may be used alone or in combination with other commercial herbicides, insecticides, or fungicides. The following list exemplifies some of the herbicides suitable for use in mixtures. A combination of a compound from this invention with one or more of the following herbicides may be particularly useful for weed control.

	Common Name	Chemical Name
5	acetochlor	2-chloro-N-(ethoxymethyl)-N- (2-ethyl-6-methylphenyl)acetamide
	acifluorfen	5-[2-chloro-4-(trifluoromethyl)- phenoxy]-2-nitrobenzoic acid
	acrolein	2-propenal
10	alachlor	2-chloro-N-(2,6-diethylphenyl)-N- (methoxymethyl)acetamide
	anilofos	S-4-chloro-N-isopropylcarbaniloyl-methyl-O,O-dimethyl phosphorodi-thioate
15	ametryn	N-ethyl-N'-(l-methylethyl)-6- (methylthio)-1,3,5-triazine-2,4- diamine
	amitrole	1H-1,2,4-triazol-3-amine
	AMS	ammonium sulfamate
20	asulam	<pre>methyl [(4-aminophenyl)sulfonyl]-   carbamate</pre>
	atrazine	6-chloro-N-ethyl-N'-(l-methylethyl)- 1,3,5-triazine-2,4-diamine
	barban	4-chloro-2-butynyl 3-chlorocarbamate
25	benefin	N-butyl-N-ethyl-2,6-dinitro-4-(tri-fluoromethyl)benzenamine
	bensulfuron methyl	<pre>2-[[[[[(4,6-dimethoxy-2-pyrimi- dinyl)amino]methylcarbonyl]- amino]sulfonyl]methyl]benzoic acid, methyl ester</pre>
30	bensulide	<pre>0,0-bis(1-methylethyl) S-[2-   [(phenylsulfonyl)amino]-   ethyl]phosphorodithioate</pre>
35	bentazon	3-(1-methylethyl)-(1H)-2,1,3- benzothiadiazin-4(3H)-one, 2,2-dioxide
33	benzofluor	N-[4-(ethylthio)-2-(trifluoro- methyl)phenyl]methanesulfonamide

	Common Name	Chemical Name			
5	benzoylprop	N-benzoyl-N-(3,4-dichlorophenyl)-DL-alanine			
	bifenox	<pre>methyl 5-(2,4-dichlorophenoxy)-2-     nitrobenzoate</pre>			
	bromaci1	5-bromo-6-methyl-3-(1-methylpropyl)- 2,4(1H,3H)pyrimidinedione			
10	bromoxynil	3,5-dibromo-4-hydroxybenzonitrile			
	butachlor	N-(butoxymethyl)-2-chloro-N-(2,6-diethylphenyl)acetamide			
15	buthidazole	<pre>3-[5-(1,1-dimethylethyl)-1,3,4-thia- diazol-2-yl]-4-hydroxy-1-methyl-2- imidazolidinone</pre>			
	butralin	4-(1,1-dimethylethyl)-N-(1-methyl- propyl)-2,6-dinitrobenzenamine			
	butylate	S-ethyl bis(2-methylpropyl)- carbamothicate			
20	cacodylic acid	dimethyl arsinic oxide			
	CDAA	2-chloro-N,N-di-2-propenylacetamide			
	CDEC	2-chloroallyl diethyldithiocarbamate			
25	CGA 142,464	<pre>3-(4,6-dimethoxy-1,3,5-triazin-2-yl)- 1-[2-(2-methoxyethoxy)-phenyl- sulfonyl]-urea</pre>			
	chloramben	3-amino-2,5-dichlorobenzoic acid			
30	chlorbromuron	3-(4-bromo-3-chlorophenyl)-1-methoxy-1-methylurea			
	chlorimuron ethyl	<pre>2-[[[(4-chloro-6-methoxy-2-pyrimi- dinyl)ethylamino]carbonyl]- amino]sulfonyl]benzoic acid, ethyl ester</pre>			
35	chlormethoxy- nil	2,4-dichlorophenyl 4-nitro-3-methoxyphenyl ether			
	chlornitrofen	2,4,6-trichlorophenyl-4-nitro- phenyl ether			

	Common Name	Chemical Name				
5	chloroxuron	N'-[4-(4-chlorophenoxy)phenyl]-N,N-dimethylurea				
	chlorpropham	1-methylethyl 3-chlorophenylcarbamate				
	chlorsulfuron	2-chloro-N-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]benzene-sulfonamide				
10	chlortoluron	N'-(3-chloro-4-methylphenyl)-N,N-dimethylurea				
	cinmethylin	<pre>exo-1-methyl-4-(1-methylethyl)-2-[(2- methylphenyl)methoxy]-7-oxabicyclo- [2.2.1]heptane</pre>				
15	clethodim	<pre>(E,E)-(±)-2-[1-[[(3-chloro-2-propenyl)-   oxy]imino]propyl]-5-[2-(ethylthio)-   propyl]-3-hydroxy-2-cyclohexen-1-one</pre>				
	clomazone	2-[(2-chlorophenyl)methyl]-4,4-dimethyl- 3-isoxazolidinone				
20	cloproxydim	<pre>(E,E)-2-[1-[[(3-chloro-2-propenyl)oxy)- imino]butyl]-5-[2-(ethylthio)propyl]- 3-hydroxy-2-cyclohexen-1-one</pre>				
	clopyralid	3,6-dichloro-2-pyridinecarboxylic acid				
	CMA	calcium salt of MAA				
25	cyanazine	2-[[4-chloro-6-(ethylamino)-1,3,5-tri-azin-2-yl]amino]-2-methylpropanenitrile				
	cycloate	S-ethyl cyclohexylethylcarbamothioate				
	cycluron	3-cyclooctyl-1,l-dimethylurea				
30	cyperquat	l-methyl-4-phenylpyridinium				
	cyprazine	2-chloro-4-(cyclopropylamino)-6-(iso-propylamino)-s-triazine				
35	cyprazole	N-[5-(2-chloro-1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]cyclopropanecarbox-amide				
	cypromid	3',4'-dichlorocyclopropanecarboxanilide				

	Common Name	Chemical Name			
5	dalapon	2,2-dichloropropanoic acid			
	dazomet	tetrahydro-3,5-dimethyl-2H-1,3,5-thia- diazine-2-thione			
	DCPA .	<pre>dimethyl 2,3,5,6-tetrachloro-1,4-benzene- dicarboxylate</pre>			
10	desmediphan	<pre>ethyl [3-[[(phenylamino)carbonyl]oxy]-    phenyl]carbamate</pre>			
	desmetryn	2-(isopropylamino)-4-(methylamino)-6- (methylthio)-s-triazine			
15	diallate	S-(2,3-dichloro-2-propenyl)bis(1-methylethyl)carbamothioate			
13	dicamba	3,6-dichloro-2-methoxybenzoic acid			
	dichlobenil	2,6-dichlorobenzonitrile			
	dichlorprop	<pre>(±)-2-(2,4-dichlorophenoxy)propanoic acid</pre>			
20	diclofop- methyl	<pre>(±)-2-[4-(2,4-dichlorophenoxy)phenoxy]- propanoic acid, methyl ester</pre>			
	diethatyl	N-(chloroacetyl)-N-(2,6-diethylphenyl)- glycine			
25	difenzoquat	1,2-dimethy1-3,5-dipheny1-1H-pyrazolium			
	dimepiperate	S-1-methyl-1-phenylethylpiperidine- 1-carbothicate			
	dinitramine	N <sup>3</sup> ,N <sup>3</sup> -diethyl-2,4-dinitro-6-(trifluoro-methyl)-1,3-benzenediamine			
30	dinoseb	2-(1-methylpropyl)-4,6-dinitrophenol			
	điphenamid	$N$ , $N$ -dimethyl- $\alpha$ -phenylbenzeneacetamide			
35	dipropetryn	6-(ethylthio)-N,N'-bis(1-methylethyl)- 1,3,5-triazine-2,4-diamine			
	diquat	<pre>6,7-dihydrodipyrido[1,2-a:2',1'-c]- pyrazinedium ion</pre>			
	diuron	N'-(3,4-dichlorophenyl)-N,N-dimethylurea			

	Common Name	Chemical Name		
5	DNOC	2-methyl-4,6-dinitrophenol		
	DSMA	disodium salt of MAA		
	dymron	N-(4-methylphenyl)-N'-(1-methyl- l-phenylethyl)urea		
10	endothall	7-oxabicyclo[2.2.1]heptane-2,3-dicarbox-ylic acid		
	EPTC	S-ethyl dipropylcarbamothioate		
	esprocarb (SC2957)	S-benzyl-N-ethyl-N-(1,2-dimethyl)- propyl)thiolcarbamate		
15	ethalfluralin	N-ethyl-N-(2-methyl-2-propenyl)-2,6- dinitro-4-(trifluoromethyl)- benzenamine		
	ethofumesate	<pre>(±)-2-ethoxy-2,3-dihydro-3,3-dimethyl- 5-benzofuranyl methanesulfonate</pre>		
	fenac	2,3,6-trichlorobenzeneacetic acid		
20	fenoxaprop	<pre>(±)-2-[4-[(6-chloro-2-benzoxazolyl)oxy]- phenoxy]propanoic acid</pre>		
	fenuron	N,N-dimethyl-N'-phenylurea		
	fenuron TCA	Salt of fenuron and TCA		
25	flamprop	N-benzoyl-N-(3-chloro-4-fluorophenyl)-DL-alanine		
	fluazifop	<pre>(±)-2-[4-[[5-(trifluoromethyl)-2-pyri- dinyl]oxy]phenoxy]propanoic acid</pre>		
30	fluazifop-P	<pre>(R)-2-[4-[[5-(trifluoromethyl)-2-pyri- dinyl]oxy]phenoxy]propanoic acid</pre>		
	fluchloralin	N-(2-chloroethyl)-2,6-dinitro-N-propyl-4-(trifluoromethyl)benzenamine		
	fluometuron	<pre>N,N-dimethyl-N'-[3-(trifluoromethyl)- phenyl]urea</pre>		

	Common Name	Chemical Name				
5	fluorochlor- idone	<pre>3-chloro-4-(chloromethyl)-1-[3-(tri- fluoromethyl)phenyl]-2-pyrrolidinone</pre>				
	fluorodifen	$\underline{p}$ -nitrophenyl $\alpha, \alpha, \alpha$ -trifluoro-2-nitro- $\underline{p}$ -tolyl ether				
10	fluorogly- cofen	<pre>carboxymethyl 5-[2-chloro-4-(tri- fluoromethyl)phenoxy]-2-nitrobenzoate</pre>				
	fluridone	<pre>l-methyl-3-phenyl-5-[3-(trifluoro- methyl)phenyl]-4(1H)-pyridinone</pre>				
	fomesafen	5-[2-chloro-4-(trifluoromethyl)phenoxy]- N-(methylsulfonyl)-2-nitrobenzamide				
15	fosamine	ethyl hydrogen (aminocarbonyl)- phosphate				
	glyphosate	N-(phosphonomethyl)glycine				
	haloxyfop	<pre>2-[4-[[3-chloro-5-(trifluoromethyl)-2- pyridinyl]oxy]phenoxy]propanoic acid</pre>				
20	hexaflurate	potassium hexafluoroarsenate				
	hexazinone 3-cyclohexyl-6-(dimethylamino)-1-me 1,3,5-triazine-2,4(1H,3H)-dione					
25	imazametha- benz	6-(4-isopropyl-4-methyl-5-oxo-2- imidazolin-2-yl)-m-toluic acid, methyl ester and 6-(4-isopropyl- 4-methyl-5-oxo-2-imidazolin-2-yl)- p-toluic acid, methyl ester				
30	imazapyr	<pre>(±)-2-[4,5-dihydro-4-methyl-4-(1-methyl- ethyl)-5-oxo-lH-imidazol-2-yl]-3- pyridinecarboxylic acid</pre>				
	imazaquin	2-[4,5-dihydro-4-methyl-4-(1-methyl-ethyl)-5-oxo-lH-imidazol-2-yl]-3-quinolinecarboxylic acid				
35	imazethapyr	<pre>(±)-2-[4,5-dihydro-4-methyl-4-(1-methyl- ethyl)-5-oxo-1H-imidazol-2-yl]-5- ethyl-3-pyridinecarboxylic acid</pre>				

	Common Name	Chemical Name				
5	ioxynil	4-hydroxy-3,5-diiodobenzonitrile				
	isopropalin	4-(1-methylethyl)-2,6-dinitro-N,N-, dipropylbenzenamine				
	isoproturon	N-(4-isopropylphenyl)-N',N'-dimethylurea				
10	isouron	N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- N,N-dimethylurea				
	isoxaben	N-[3-(1-ethyl-1-methylpropyl)-5- isoxazolyl]-2,6-dimethoxybenzamide				
	karbutilate	<pre>3-[[(dimethylamino)carbonyl]amino]-   phenyl-(1,1-dimethylethyl)carbamate</pre>				
15	lactofen	<pre>(±)-2-ethoxy-1-methyl-2-oxoethyl 5-[2- chloro-4-(trifluoromethyl)phenoxy]- 2-nitrobenzoate</pre>				
20	lenacil	<pre>3-cyclohexyl-6,7-dihydro-lH-cyclopenta- pyrimidine-2,4(3H,5H)-dione</pre>				
	linuron	N'-(3,4-dichlorophenyl)-N-methoxy-N-methylurea				
	MAA	methylarsonic acid				
	MAMA	monoammonium salt of MAA				
25	MCPA	(4-chloro-2-methylphenoxy)acetic acid				
23	MCPB	4-(4-chloro-2-methylphenoxy)butanoic acid				
30	MON 7200	S,S-dimethyl-2-(difluoromethyl)-4- (2-methylpropyl)-6-(trifluoromethyl)- 3,5-pyridinedicarbothionate				
	mecoprop	<pre>(±)-2-(4-chloro-2-methylphenoxy)- propanoic acid</pre>				
35	mefenacet	2-(2-benzothiazolyloxy-N-methyl-N-phenylacetamide				
	mefluidide	<pre>N-[2,4-dimethyl-5-[[(trifluoromethyl)- sulfonyl]amino]phenyl]acetamide</pre>				
	methal- propalin	N-(2-methyl-2-propenyl)-2,6-dinitro-N- propyl-4-(trifluoromethyl)benzenamide				

	Common Name	Chemical Name			
5	methabenz- thiazuron	1,3-dimethyl-3-(2-benzothiazolyl)urea			
	metham	methylcarbamodithioic acid			
	methazole	2-(3,4-dichlorophenyl)-4-methyl-1,2,4-oxadiazolidine-3,5-dione			
10	methoxuron	N'-(3-chloro-4-methoxyphenyl)-N,N-dimethylurea			
	metolachlor	2-chloro-N-(2-ethyl-6-methylphenyl)-N-(2-methoxy-1-methylethyl)acetamide			
15	metribuzin	4-amino-6-(1,1-dimethylethyl)-3-(methyl-thio)-1,2,4-triazin-5(4H)-one			
13	metsulfuron methyl	<pre>2-[[[[(4-methoxy-6-methyl-1,3,5-tri- azin-2-yl)amino]carbonyl]- amino]sulfonyl]benzoic acid, methyl ester</pre>			
	МН	1,2-dihydro-3,6-pyridazinedione			
20	molinate	S-ethyl hexahydro-lH-azepine-l-carbo- thioate			
	monolinuron	3-(p-chlorophenyl)-1-methoxy-1-methyl-urea			
25	monuron	N'-(4-chlorophenyl)-N,N-dimethylurea			
	monuron TCA	Salt of monuron and TCA			
	MSMA	monosodium salt of MAA			
30	napropamide	N, N-diethyl-2-(1-naphthalenyloxy)- propanamide			
	naptalam	<pre>2-[(1-naphthalenylamino)carbonyl]- benzoic acid</pre>			
35	neburon	<pre>l-butyl-3-(3,4-dichlorophenyl)-1-methyl- urea</pre>			
	nitralin	4-(methylsulfonyl)-2,6-dinitro-N,N-dipropylaniline			
	nitrofen	2,4-dichloro-1-(4-nitrophenoxy)benzene			

	Common Name	Chemical Name			
5	nitrofluorfen	2-chloro-1-(4-nitrophenoxy)-4-(tri- fluoromethyl)benzene			
10	norea	N,N-dimethyl-N'-(octahydro-4,7-methano- 1H-inden-5-yl)urea 3aα,- 4α,5α,7α,7aα-isomer			
	norflurazon	<pre>4-chloro-5-(methylamino)-2-[3-(tri- fluoromethyl)phenyl]-3(2H)- pyridazinone</pre>			
	oryzalin 4-(dipropylamino)-3,5-dinitro- benzenesulfonamide				
15	oxadiazon	3-[2,4-dichloro-5-(1-methylethoxy)- phenyl]-5-(1,1-dimethylethyl)- 1,3,4-oxadiazol-2(3H)-one			
	oxyfluorfen	2-chloro-1-(3-ethoxy-4-nitrophenoxy)-4- (trifluoromethyl)benzene			
	paraquat	1,1'-dimethyl-4,4'-dipyridinium ion			
20	pebulate	S-propyl butylethylcarbamothicate			
	pendimethalin	N-(1-ethylpropyl)-3,4-dimethyl-2,6-dinitrobenzenamine			
	perfluidone	<pre>1,1,1-trifluoro-N-[2-methyl-4-(phenyl- sulfonyl)phenyl]methanesulfonamide</pre>			
25	phenmedipham	<pre>3-[(methoxycarbonyl)amino]phenyl (3- methylphenyl)carbamate</pre>			
	picloram	4-amino-3,5,6-trichloro-2-pyridine-carboxylic acid			
<b>30</b> <b>35</b>	PPG-1013	5-[2-chloro-4-(trifluoromethyl)- phenoxy]-2-nitroacetophenone oxime-0-acetic acid, methyl ester			
	pretilachlor	α-chloro-2,6-diethyl-N-(2-propoxy- ethyl)acetanilide			
	procyazine	2-[[4-chloro-6-(cyclopropylamino)-1,3,5-triazine-2-yl]amino]-2-methylpropane-nitrile			
	profluralin	N-(cyclopropylmethyl)-2,6-dinitro-N- propyl-4-(trifluoromethyl)benzenamine			

	Common Name	Chemical Name				
5	prometon	6-methoxy-N,N'-bis(1-methylethyl)-1,3,5-triazine-2,4-diamine				
	prometryn	N, N'-bis(l-methylethyl)-6-(methylthio)- 1,3,5-triazine-2,4-diamine				
	pronamide	3,5-dichloro-N-(1,1-dimethyl-2-propyn-yl)benzamide				
10	propachlor	2-chloro-N-(1-methylethyl)-N-phenylacetamide				
	propanil	N-(3,4-dichlorophenyl)propanamide				
15	propazine	6-chloro-N,N'-bis(l-methylethyl)- 1,3,5-triazine-2,4-diamine				
	propham 1-methylethyl phenylcarbamate					
	prosulfalin	N-[[4-(dipropylamino)-3,5-dinitro- phenyl]sulfonyl]-S,S-dimethylsulfil- imine				
20	prynachlor	2-chloro-N-(1-methyl-2-propynyl)acet- anilide				
	pyrazolate	4-(2,4-dichlorobenzoyl)-1,3-dimethyl- pyrazol-5-yl-p-toluenesulphonate				
25	pyrazon	5-amino-4-chloro-2-phenyl-3(2H)- pyridazinone				
	pyrazosulfuron ethyl	ethyl S-[3-(4,6-dimethoxypyrimidin-2-yl)ureadosulfonyl]-1-methylpyrazole-4-carboxylate				
	quinclorac	3,7-dichloro-8-quinoline carboxylic acid				
30	quizalofop ethyl	<pre>(±)-2-[4-[(6-chloro-2-quinoxaliny1)-   oxy]phenoxy]propanoic acid, ethyl ester</pre>				
35	secbumeton	N-ethyl-6-methoxy-N'-(l-methylpropyl)- l,3,5-triazine-2,4-diamine				
	sethoxydim	2-[1-(ethoxyimino)buty1]-5-[2-(ethy1-thio)propy1]-3-hydroxy-2-cyclohexen-1-one				
	siduron	N-(2-methylcyclohexyl)-N'-phenylurea				

	Common Name	Chemical Name			
5	simazine	6-chloro-N,N'-diethyl-1,3,5-triazine- 2,4-diamine			
	SK-233	$1-(\alpha,\alpha-dimethylbenzyl)-3-(4-methyl-phenyl)urea$			
10	sulfometuron methyl	<pre>2-[[[(4,6-dimethyl-2-pyrimidinyl)- amino]carbonyl]amino]sulfonyl]- benzoic acid, methyl ester</pre>			
	TCA	trichloroacetic acid			
	tebuthiuron	N-[5-(1,1-dimethylethyl)-1,3,4-thiadi- azol-2-yl]-N,N'-dimethylurea			
15	terbacil	5-chloro-3-(1,1-dimethylethyl)-6- methyl-2,4(1H,3H)-pyrimidinedione			
	terbuchlor	N-(butoxymethyl)-2-chloro-N-[2-(1,1-dimethylethyl)-6-methylphenyl]-acetamide			
20	terbuthyl- azine	2-( <u>tert</u> -butylamino)-4-chloro-6-(ethyl-amino)- <u>s</u> -triazine			
	terbutol	2,6-di- <u>tert</u> -butyl- <u>p</u> -tolyl methylcar- bamate			
25	terbutryn	N-(1,1-dimethylethyl)-N'-ethyl-6- (methylthio)-1,3,5-triazine- 2,4-diamine			
25	thifensul- furon methyl	<pre>3-[[[(4-methoxy-6-methyl-1,3,5-triazin- 2-yl)amino]carbonyl]amino]sulfonyl]- 2-thiophenecarboxylic acid, methyl ester</pre>			
30	thiobencarb	S-[(4-chlorophenyl)methyl] diethylcar- bamothioate			
	triallate	S-(2,3,3-trichloro-2-propenyl) bis(1-methylethyl)carbamothioate			
	tribenuron methyl	<pre>2-[[[N-(4-methoxy-6-methyl-1,3,5- triazine-2-yl)-N-methylamino]- carbonyl]amino]sulfonyl]benzoic</pre>			
35		acid, methyl ester			

5	Common Name	Chemical Name
	triclopyr	<pre>[(3,5,6-trichloro-2-pyridinyl)- oxy]acetic acid</pre>
	tridiphane	2-(3,5-dichlorophenyl)-2-(2,2,2-trichloroethyl)oxirane
10	trifluralin	2,6-dinitro-N,N-dipropyl-4-(tri-fluoromethyl)benzenamine
	trimeturon	<pre>1-(p-chloropheny1)-2,3,3-trimethylpseu- dourea</pre>
	2,4-D	(2,4-dichlorophenoxy)acetic acid
	2,4-DB	4-(2,4-dichlorophenoxy)butanoic acid
15	vernolate	S-propyl dipropylcarbamothicate
	xylachlor	2-chloro-N-(2,3-dimethylphenyl)-N-(1-methylethyl)acetamide
20		dal properties of the compounds that etermined in greenhouse tests. Test

results and procedures follow.

## TABLE OF COMPOUNDS

5

Compound 1

m p. 122-124°C m p. 180-190°C

Compound 2

m p. 79-81°C

15

011

30

5

10 -

Compound 5 m p. 214-216°C

Compound 6 m p. 182-186°C

15

Compound 9 m p. 120-122°C

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64

	Cmpd.	X	A	R <sup>1</sup>	R <sup>3</sup>	Z.	m.p.(°C)
5	10	CN	$CR^2(R^2=H)$	CO <sub>2</sub> CH <sub>3</sub>	OCH <sub>3</sub>	N	Oil
	11	CN	$CR^2(R^2=H)$	CO <sub>2</sub> Et	OCH <sub>3</sub>	СН	49-50
	16	CN	$CR^2(R^2=NO_2)$	CO <sub>2</sub> CH <sub>3</sub>	осн3	СН	126-128
	17	CO <sub>2</sub> Et	$CR^2(R^2=H)$	CH <sub>3</sub>	OCH <sub>3</sub>	СН	Oil
	18	со <sub>2</sub> н	$CR^2(R^2=H)$	CH <sub>3</sub>	OCH3	СН	81-84
10	19	CO <sub>2</sub> Et		Н	OCH <sub>3</sub>	CH	Oil
	20	CO <sub>2</sub> Et	J .	H	OCH <sub>3</sub>	CH	Oil
	21	CO <sub>2</sub> Et	$CR^2(R^2=F)$	H	OCH <sub>3</sub>	СН	Oil
	22	CO <sub>2</sub> Et	$CR^2(R^2=H)$	H	CH <sub>3</sub>	N	Oil
15	SPECTRAL DATA  Compound Data						
	3		PMR(CDC1 <sub>3</sub> ,90M			_	,
20			5.35(s,OCH <sub>2</sub> ,2		_		),
			7.1-7.6(m, Ar				
	10	:	PMR(CDC1 <sub>3</sub> ,200	MHz) 8 3	.90(s,C	:0 <sub>2</sub> СН <sub>3</sub>	,3н),
			4.00(s,OCH <sub>3</sub> ,6	H), 6.99	9(s,CHC	N,1H)	,
		•	7.4-8.1(m,ArH	,4H).			
25	17	. 1	PMR(CDC1 <sub>3</sub> ,200	MHz) 8 1	.35(t,C	H <sub>3</sub> ,3H	),
		:	2.54(s,CH <sub>3</sub> ,3H	), 3.96	(s,OCH <sub>3</sub>	,6H),	
		•	4.2-4.4(M,CH <sub>2</sub>	O,2H),	5.49(s,	CH, 1H	)· ,
	-		6.01(s, pyrmH				
	19	]	PMR (CDC1 <sub>3</sub> , 200	MHz) 8 1.	.24(t,C	:н <sub>3</sub> ,зн	),

 $3.89(s,OCH_3,6H)$ , 5.08(s,CH,1H),

2.33(s,CH<sub>3</sub>,3H), 3.89(s,OCH<sub>3</sub>,6H), 4.1(m,OCH<sub>2</sub>,2H), 5.05(s,CH<sub>2</sub>,1H),

5.89(s,pyrmH,1H), 7.2-7.6(m.ArH,5H). PMR(CDCl<sub>3</sub>,200MHz)& 1.24(t,CH<sub>3</sub>,3H),

5.89(s,pyrmH,lH), 7.1-7.4(m.ArH,4H).

Compound

result.

65

<u>Data</u>

5	21	IR (neat) υ co 1740cm <sup>-1</sup>
	22	PMR(CDC1 <sub>3</sub> ,200MHz) & 1.23(t,CH <sub>3</sub> ,3H),
		2.55(s,CH <sub>3</sub> ,3H), 4.0(s,OCH <sub>3</sub> ,3H),
		4.2(q,OCH <sub>2</sub> ,2H), 5.07(s,CH,1H),
		7.2-7.6(m,ArH,5H).
10		
	TEST A	
	Seeds	of barley ( <u>Hordeum vulgare</u> ),
	barnyardgra	ss ( <u>Echinochloa crus-galli</u> ), cheatgrass
	(Bromus sec	calinus), cocklebur ( <u>Xanthium</u>
15		um), corn (Zea mays), cotton (Gossypium
		crabgrass ( <u>Digitaria</u> spp.), bedstraw
		rine), giant foxtail ( <u>Setaria faberii</u> ),
		y ( <u>Ipomoea hederacea</u> ), rice ( <u>Oryza</u>
		rghum ( <u>Sorghum bicolor</u> ), soybean ( <u>Glycine</u>
20		beet ( <u>Beta vulgaris</u> ), velvetleaf
		heophrasti), wheat ( <u>Triticum aestivum</u> ),
		vena fatua) and purple nutsedge ( <u>Cyperus</u>
		ubers were planted and treated
		e with test chemicals dissolved in a
25		xic solvent. At the same time, these crop
		ecies were also treated with postemergence
		s of test chemicals. Plants ranged in
		two to eighteen cm (one to four leaf
20		postemergence treatments. Treated plants
30		s were maintained in a greenhouse for
		ixteen days, after which all species were
		controls and visually evaluated. Plant
		tings, summarized in Table A, are based on
35		0 to 10 where 0 is no effect and 10 is
33	complete co	ntrol. A dash (-) response means no test

# Table A

5	COMPOUND				COMPOUND	
	Rate (2000 g/ha) POSTEMERGENCE	1	6	Rate (2000 g/ha) PREEMERGENCE	1	6
	Barley	9	9	Barley	9	9
10	Barnyardgrass	9	9	Barnyardgrass	9	9
	Cheatgrass	9	9	Cheatgrass	8	8
	Cocklebur	9	_	Cocklebur	9	9
	Corn	9	9	Corn	9	9
	Cotton	10	9	Cotton	8	9
15	Crabgrass	8	7	Crabgrass	8	9
	Giant foxtail	9	9	Giant foxtail	9	9
	Morningglory	9	10	Morningglory	9	9
	Nutsedge	10	10	Nutsedge	10	10
•	Rice	9	9	Rice	10	10
20	Sorghum	9	9	Sorghum	9	9
	Soybean	9	9	Soybean	9	9
	Sugar beet	9	10	Sugar beet	9	9
	Velvetleaf	9	10	Velvetleaf	9	9
	Wheat	9	8	Wheat	9	9
25	Wild Oat	9	9	Wild Oat	R	R

# Table A

5		COMPOUND		COMPOUND
•	Rate (1000 g/ha)	6	Rate (1000 g/ha PREEMERGENCE	) 6
	Barley	9	Barley	9
	Barnyardgrass	8	Barnyardgrass	9
10	Cheatgrass	9	Cheatgrass	8
	Cocklebur	10	Cocklebur	9
	Corn	9	Corn	7
	Cotton	9	Cotton	9
	Crabgrass	5	Crabgrass	9
15	Giant foxtail	8	Giant foxtail	9
	Morningglory	10	Morningglory	8
	Nutsedge		Nutsedge	10
	Rice	9	Rice	9
	Sorghum	9	Sorghum	9
20	Soybean	9	Soybean	9
	Sugar beet	9	Sugar beet	9
	Velvetleaf	10	Velvetleaf	9
	Wheat	8	Wheat	8
25	Wild Oat	9	Wild Oat	7

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# Table A

5	co	MPOUND		COMPOUND
	Rate (100 g/ha) POSTEMERGENCE	6	Rate (100 g/ha) PREEMERGENCE	6
	Barley	8	Barley	3
	Barnyardgrass	4	Barnyardgrass	8
10	Cheatgrass	8	Cheatgrass	7
	Cocklebur	9	Cocklebur	. 3
	Corn	7	Corn	0
	Cotton	9	Cotton	8
	Crabgrass	4	Crabgrass	5
15	Giant foxtail	5	Giant foxtail	5
	Morningglory	9	Morningglory	7
	Nutsedge	9	Nutsedge	0
	Rice	9	Rice	7
20	Sorghum	9	Sorghum	9
	Soybean	. 9	Soybean	9
	Sugar beet	9	Sugar beet	7
	Velvetleaf	9	Velvetleaf	9
	Wheat	2	Wheat	2
25	Wild Oat	7	Wild Oat	2

# Table A

5		COMPOUND			COMPOUN		UND	
	Rate (400 g/ha) POSTEMERGENCE	1	. 2	2 3	Rate (400 g/ha) PREEMERGENCE	1	2	3
	Barley	9	9	8	Barley	8	8	0
	Barnyardgrass	9	9	2	Barnyardgrass	9	9	0
10	Cheatgrass	9	9	8	Cheatgrass	8	9	0
	Cocklebur	9	9	2	Cocklebur	9	8	0
	Corn	9	9	9	Corn	9	9	2
	Cotton	9	3	0	Cotton	8	0	0
	Crabgrass	7	5	0	Crabgrass	7	6	0
15	Giant foxtail	9	9	7	Giant foxtail	9	9	2
	Morningglory	4	2	5	Morningglory	8	4	0
	Nutsedge	10	9	9	Nutsedge	10	10	0
	Rice	9	9	9	Rice	10	10	6
20	Sorghum	9	9	. 8	Sorghum	9	9	3
	Soybean	9	9	9	Soybean	9	9	3
	Sugar beet	9	10	10	Sugar beet	9	9	8
	Velvetleaf	9	9	7	Velvetleaf	9	9	0
	Wheat	9	8	2	Wheat	8	8	0
25	Wild Oat	9	8	6	Wild Oat	7	8	0

# Table A

5		COMI	POUND		COMI	POUND
	Rate (50 g/ha) POSTEMERGENCE	2	3	Rate (50 g/ha) PREEMERGENCE	2	<b>3</b> .
	Barley	7	3	Barley	6	0
3.0	Barnyardgrass	1	0	Barnyardgrass	6	0
10	Cheatgrass	9	4	Cheatgrass	7	0
	Cocklebur	7	1	Cocklebur	3	0
	Corn	9	6	Corn	9	0
	Cotton	0	0	Cotton	0	0
_	Crabgrass	2	0	Crabgrass	2	0
15	Giant foxtail	7	0	Giant foxtail	8	0
	Morningglory	2	2	Morningglory	4	0
	Nutsedge	5	0 -	Nutsedge	10	0
	Rice	9	3	Rice	9	2
20	Sorghum	9	3	Sorghum	9	0
	Soybean	9	7	Soybean	6	0
	Sugar beet	9	9	Sugar beet	9	3
	Velvetleaf	9	4	Velvetleaf	7	0
	Wheat	3	0	Wheat	3	0
25	Wild Oat	0	0	Wild Oat	5	0

#### TEST B

Seeds of barley (Hordeum vulgare), barnyardgrass (Echinochloa crus-galli), bedstraw 5 (Galium aparine), blackgrass (Alopecurus myosuroides), cheatgrass (Bromus secalinus), chickweed (Stellaria media), cocklebur (Xanthium pensylvanicum), corn (Zea mays), cotton (Gossypium hirsutum), crabgrass (Digitaria spp.), giant foxtail 10 (Setaria faberii), lambsquarters (Chenopodium album), morningglory (Ipomoea hederacea), rape (Brassica napus), rice (Oryza sativa), sorghum (Sorghum bicolor), soybean (Glycine max), sugar beet (Beta vulgaris), velvetleaf (Abutilon theophrasti), wheat 15 (Triticum aestivum), wild buckwheat (Polygonum convolvulus), and wild oat (Avena fatua) and purple nutsedge (Cyperus rotundus) tubers were planted and treated preemergence with test chemicals dissolved in 20 a non-phytotoxic solvent. At the same time, these crop and weed species were also treated with postemergence applications of test chemicals. Plants ranged in height from two to eighteen cm (one to four leaf stage) for postemergence treatments. plants and controls were maintained in a greenhouse 25 for approximately twelve to sixteen days, after which all species were compared to controls and visually evaluated. Plant response ratings, summarized in Table B, are based on a scale of 0 to 10 where 0 is no effect and 10 is complete control. A dash (-) 30 response means no test result.

5		COMPOUND		COMPOUND
	Rate (2000 g/ha	a) 9	Rate (2000 g/h PREEMERGENCE	a) 9
	Barley	7	Barley	7
	Barnyardgrass	2	Barnyardgrass	6
10	Bedstraw	-	Bedstraw	9
	Blackgrass	6	Blackgrass	8
	Cheatgrass	6	Cheatgrass	9
	Chickweed	7	Chickweed	9
	Cocklebur	2	Cocklebur	3
15	Corn	4	Corn	.2
	Cotton	9	Cotton	8
	Crabgrass	2	Crabgrass	_
	Giant foxtail	3	Giant foxtail	2
	Lambsquarters	6	Lambsquarters	9
20	Morningglory	4	Morningglory	2
	Nutsedge	9	Nutsedge	~
	Rape	5	Rape	7
	Rice	4	Rice	8
	Sorghum	9	Sorghum	7
25	Soybean	9	Soybean	9
	Sugar beet	9	Sugar beet	9
	Velvetleaf	9	Velvetleaf	8
	Wheat	2	Wheat	2
	Wild Buckwheat	8	Wild Buckwheat	9
30	Wild Oat	2	Wild Oat	7

5			COM	POUND		CC	MPO	UND
	Rate (400 g/ha) POSTEMERGENCE	4	5	9	Rate (400 g/ha) PREEMERGENCE	4	5	9
	Barley	3	3	2	Barley	0	0	0
10	Barnyardgrass	2	0	0	Barnyardgrass	0	0	2
	Bedstraw	9	9	4	Bedstraw	6	8	7
	Blackgrass	0	2	4	Blackgrass	5	4	4
	Cheatgrass	2	5	2	Cheatgrass	0	4	6
	Chickweed	6	8	6	Chickweed	6	5	9
	Cocklebur	1	6	3	Cocklebur	7	2	2
15	Corn	0	0	2	Corn	0	0	_
	Cotton	4	8	6	Cotton	0	5	8
	Crabgrass	0	2	2	Crabgrass	2	0	_
	Giant foxtail	0	3	2	Giant foxtail	2	2	2
0.0	Lambsquarters	7	8	5	Lambsquarters	10	10	_
20	Morningglory	1	6	3	Morningglory	0	2	1
	Nutsedge	5	9	8 .	Nutsedge	0	9	_
	Rape	3	5	2	Rape	3	3	0
	Rice	0	5	2	Rice	0	3	2
25	Sorghum	3	7	8	Sorghum	0	2	5
25	Soybean	9	9	9	Soybean	3	9	8
	Sugar beet	9	9	9	Sugar beet	4	6	9
	Velvetleaf	8	9	7	Velvetleaf	3	8	8
	Wheat	0	0	0	Wheat	0	0	0
	Wild Buckwheat	9	8	7	Wild Buckwheat	6	3	6
30	Wild Oat	0	1	2	Wild Oat	0	2	2

5		COI	MPOUND		COI	MPOUND
	Rate (100 g/ha) POSTEMERGENCE	4	5	Rate (100 g/ha) PREEMERGENCE	4	5
	Barley	0	0	Barley	0	0
	Barnyardgrass	0	0	Barnyardgrass	0	0
10	Bedstraw	9	7	Bedstraw	2	7
	Blackgrass	0	0	Blackgrass	3	2
	Cheatgrass	0	2	Cheatgrass	0	2
	Chickweed	4	5	Chickweed	5	4
15	Cocklebur	0	2	Cocklebur	5	_
	Corn	0	0	Corn	0	0
	Cotton	2	7	Cotton	0	0
	Crabgrass	0	0	Crabgrass	0	0
	Giant foxtail	0	0	Giant foxtail	2	2
	Lambsquarters	5	7	Lambsquarters	10	0
20	Morningglory	1	5	Morningglory	0	0
	Nutsedge	2	9	Nutsedge	0	5
	Rape	0	2	Rape	0	2
	Rice	0	3	Rice	0	0
	Sorghum	0	4	Sorghum	0	0
25	Soybean	9	9	Soybean	2	8
	Sugar beet	8	8	Sugar beet	0	1
	Velvetleaf	5	8	Velvetleaf	2	0
	Wheat	0	0	Wheat	0	0
	Wild Buckwheat	8	8	Wild Buckwheat	2	4
30	Wild Oat	0	0	Wild Oat	0	0

5		C	OMPOU	JND
•	Rate (2000 g/ha POSTEMERGENCE	) 10	16	
	Barley	9	6	
	Barnyardgrass	9		
	Bedstraw	7		
	Blackgrass	9	_	
3.0	Cheatgrass	9		
10	Chickweed	9		
	Cocklebur	9		
	Corn	6		
	Cotton		9	
	Crabgrass		2	
	Giant foxtail		8	
	Lambsquarters		9	
15	Morningglory		9	
	Nutsedge	9		
	Rape	10	9	
	Rice	9	_	
	Sorghum	9		
	Soybean	9	-	
	Sugar beet		10	
20	Velvetleaf	9		
20	Wheat		6	
	Wild buckwheat	10		
	Wild oat	5	3	
	Table B	COME	POUNE	)
25	Rate (2000 g/ha) PREEMERGENCE	10	16	
	Barley	9	0	
	Barnyardgrass	8	5	
	Bedstraw		9	
	Blackgrass	9	6	
	Cheatgrass	8	8	
	Chickweed	10	9	
30	Cocklebur	-	-	
-	Corn	3	1	
	Cotton	7	6	
	Crabgrass	5	2	
	Giant foxtail	7	1	
	Lambsquarters	9	9 2	
	Morningglory	5	2	
	Nutsedge	5	7	
35	Rape	8	9	
	Rice	7	9	
	Sorghum	8	4	
	Soybean	9	9	
	Sugar beet	9	9	

	Table B	COMPOUN	Į
	Velvetleaf	7 6	
5	Wheat	7 4	
	Wild buckwheat		
	Wild oat	6 0	
	Table B	COMPOUND	
10	Rate (1000 g/h POSTEMERGENCE	a) 19	
10	Barley	8	
	Barnyardgrass	9	
	Bedstraw	8	
	Blackgrass	. 9	
	Cheatgrass	9	
	Chickweed	10	
	Corn	9	
15	Cotton	5	
	Crabgrass	8	
	Giant foxtail	8	
-	Lambsquarters	9	
	Morningglory	9	
	Nutsedge	_	
	Rape	9	
20	Rice	9	
20	Sorghum	. 9	
	Soybean	6	
	Sugar beet	10	
	Velvetleaf	5	
	Wheat	8	
	Wild buckwheat	9	
	Wild oat	9	
25			
		OMPOUND	
	Rate (1000 g/ha PREEMERGENCE	a) 19	
	Barley	7	
	Barnyardgrass	9	
	Bedstraw	9	
	Blackgrass	9	
30	Cheatgrass	8	
	Chickweed	9	
	Corn	9	
	Cotton	8	
	Crabgrass	· 9	
	Giant foxtail	9	
	Lambsquarters	9	
35	Morningglory	5	
	Nutsedge	9	
	Rape	6	
	Rice	9	
	Sorghum	9	

	Table B COM	MPOU	ND		
	Rate (1000 g/ha)	) 19			
	Soybean	8			
5	Sugar beet	9			
	Velvetleaf	2			
	Wheat	9			
	Wild buckwheat	8			
	Wild oat	9			
	Table B	(	COMI	POUI	ND
10	Rate (400 g/ha)	10	11	16	18
	POSTEMERGENCE			10	10
	Barley	9	0	6	0
	Barnyardgrass	9	0	3	_
	Bedstraw	7	3	8	2
	Blackgrass	9	0	5	1
	Cheatgrass	8	0	8	0
15	Chickweed	9	7	9	3
	Cocklebur	9	_	-	_
	Corn	4	0	0	
	Cotton	9	5	6	
	Crabgrass	4	0	0	
	Giant foxtail	7	0		-
	Lambsquarters	8	8	8	0
20	Morningglory	7	3	9	0
	Nutsedge	_	6	7	0
	Rape	9	2	9	0
	Rice	8	2	7	0
	Sorghum	9	0	8	3
	Soybean	9	9	9	0
	Sugar beet	10	9	9	3
25	<b>Velvetleaf</b> <b>Wheat</b>	9	5	9	0
23	Wild buckwheat	5	0	2	2
	Wild oat	9 3	8	8	0
	WIIG OUL	3	U	U	0

Table B				C	OMPOUND
5	Rate (400 g/ha) PREEMERGENCE	10	11	16	18
	Barley	8	0	0	0
	Barnyardgrass	7	0	3	3
	Bedstraw	2	5	3	0
10	Blackgrass	8	4	0	0
	Cheatgrass	8	3	8	5
	Chickweed	0	6	4	0
	Cocklebur	-	-	_	-
	Corn	2	0	0	0
15	Cotton	6	4	0	0
	Crabgrass	2	0	0	2
	Giant foxtail	3	0	1	2
	Lambsquarters	9	8	9	0
	Morningglory	2	0	0	0
20	Nutsedge	0	0	0	-
	Rape	7	2	3	0
	Rice	6	0	7	2
	Sorghum	7	1	0	9
	Soybean	9	8	6	0
25	Sugar beet	8	2	9	2
	Velvetleaf	3	1	3	1
	Wheat	5	0	2	.0
	Wild buckwheat	2	4	3	0
	Wild oat	4	2	0	2
2.0					

	Table B	COMPOUND
5	Rate (200 g/ha) POSTEMERGENCE	19
	Barley	5
	Barnyardgrass	8
	Bedstraw	6
10	Blackgrass	7
	Cheatgrass	7
	Chickweed	7
	Cocklebur	-
	Corn	8
15	Cotton	0
	Crabgrass	6
	Giant foxtail	4
	Lambsquarters	9
	Morningglory	1
20	Nutsedge	6
	Rape	7
	Rice	8
	Sorghum	7
	Soybean	4
25	Sugar beet	8
	Velvetleaf	2
	Wheat	2
	Wild buckwheat	7
	Wild Oat	5
30		

	COMPOUND	
5	Rate (200 g/ha) PREEMERGENCE	12 19
	Barley	9 3
	Barnyardgrass	7 8
	Bedstraw	9 9
10	Blackgrass	3 8
	Cheatgrass	9 8
	Chickweed	10 9
	Cocklebur	
	Corn	1 5
15	Cotton	8 1
	Crabgrass	2 9
	Giant foxtail	2 8
	Lambsquarters	9 10
	Morningglory	9 0
20	Nutsedge	7 5
	Rape	9 6
	Rice	8 6 .
	Sorghum	8 5
	Soybean	9 2
25	Sugar beet	9 9
	Velvetleaf	9 0
	Wheat	8 7
	Wild buckwheat	9 3
	Wild oat	8 8
30		

	Table B		COMPOUND
5	Rate (100 g/ha)	11	18
	POSTEMERGENCE		
	Barley	0	0
	Barnyardgrass	0	-
	Bedstraw	2	0
10	Blackgrass	0	0
	Cheatgrass	0	0
	Chickweed	0	0
	Cocklebur	_	_
	Corn	0	0
15	Cotton	2	0
	Crabgrass	0	0
	Giant foxtail	0	O.
	Lambsquarters	4	0
	Morningglory	1	0
20	Nutsedge	0	0
	Rape	0	0
	Rice	0	0
	Sorghum	0	2
	Soybean	8	0
25	Sugar beet	8	3
	Velvetleaf	0	0
	Wheat	0	0
	Wild buckwheat	7	0
	Wild oat	0	0
20			

	Table B	COM	POUND
5	Rate (100 g/ha) PREEMERGENCE	11	18
	Barley	0	0
	Barnyardgrass	0	0
	Bedstraw	0	0
10	Blackgrass	2	0
	Cheatgrass	0	2
	Chickweed	0	0
	Cocklebur	_	-
	Corn	0	0
15	Cotton	0	0
	Crabgrass	0	0
	Giant foxtail	0	0
	Lambsquarters	0	0
	Morningglory	0	0
20	Nutsedge	0	0
	Rape	0	0
	Rice	0	0
	Sorghum	0	3
	Soybean	6	0
25	Sugar beet	0	2
	Velvetleaf	0	0
	Wheat	0	0
	Wild buckwheat	0	0
	Wild oat	0	. 0

#### TEST C

Seeds of barley (Hordeum vulgare), barnyardgrass (Echinochloa crus-galli), blackgrass 5 (Alopecurus myosuroides), chickweed (Stellaria media), cocklebur (Xanthium pensylvanicum), corn (Zea mays), cotton (Gossypium hirsutum), crabgrass (Digitaria spp.), downy brome (Bromus tectorum), giant foxtail (Setaria faberii), green foxtail 10 (Setaria viridis), jimsonweed (Datura stramonium), johnsongrass (Sorghum halepense), lambsquarters (Chenopodium album), morningglory (Ipomoea spp.), rape (Brassica napus), rice (Oryza sativa), sicklepod (Cassia obtusifolia), soybean (Glycine max), sugar 15 beet (Beta vulgaris), teaweed (Sida spinosa), velvetleaf (Abutilon theophrasti), wheat (Triticum aestivum), wild buckwheat (Polygonum convolvulus), and wild oat (Avena fatua) and purple nutsedge (Cyperus rotundus) tubers were planted and treated 20 preemergence with test chemicals dissolved in a non-phytotoxic solvent. At the same time, these crop and weed species were also treated with postemergence applications of test chemicals. Plants ranged in height from two to eighteen cm (two to three leaf 25 stage) for postemergence treatments. Treated plants and controls were maintained in a greenhouse for approximately eighteen to twenty-four days, after which all species were compared to controls and visually evaluated. Plant response ratings, 30 summarized in Table C, are reported on a 0 to 10 scale where 0 is no effect and 10 is complete control. A dash (-) response means no test result.

_		COMPOUND		COMPOUND
5				
	Rate (250 g/ha	) 1	Rate (250 g/ha	a) 1
	POSTEMERGENCE		PREEMERGENCE	
	Barley	8	Barley	7
	Barnyardgrass	9	Barnyardgrass	10
10	Blackgrass	7	Blackgrass	7
	Chickweed	10	Chickweed	9
	Cocklebur	9	Cocklebur	10
	Corn	10	Corn	10
	Cotton	3	Cotton	4
15	Crabgrass	7	Crabgrass	8
	Downy brome	7	Downy brome	8
	Giant foxtail	9 .	Giant foxtail	7
	Green foxtail	7	Green foxtail	8
	Jimsonweed	9	Jimsonweed	8
20	Johnsongrass	. 9	Johnsongrass	8
	Lambsquarters	10	Lambsquarters	_
	Morningglory	4	Morningglory	8
	Nutsedge	9	Nutsedge	10
	Rape	9	Rape	10
25	Rice	9	Rice	10
	Sicklepod	- 8	Sicklepod	8
	Soybean	9	Soybean	8
	Sugar beet	10	Sugar beet	10
	Teaweed	9	Teaweed	9
30	Velvetleaf	10	Velvetleaf	9
	Wheat	6	Wheat	6
	Wild buckwheat	10	Wild buckwheat	9
	Wild oat	7	Wild oat	7

5		COM	POUND		cc	MPOUND
	Rate (62 g/ha) POSTEMERGENCE	1	6	Rate (62 g/ha) PREEMERGENCE	1	6
	Barley	5	5	Barley	5	4
	Barnyardgrass	9	8	Barnyardgrass	7	8
10	Blackgrass	7	3	Blackgrass	5	3
	Chickweed	10	10	Chickweed	7	2
	Cocklebur	7	8	Cocklebur	10	6
	Corn	10	3	Corn	6	2
	Cotton	2	9	Cotton	3	9
15	Crabgrass	6	2	Crabgrass	7	0
	Downy brome	5	7	Downy brome	7	9
	Giant foxtail	8	4	Giant foxtail	3	3
	Green foxtail	4	4	Green foxtail	6	0
	Jimsonweed	9	8	Jimsonweed	7	9
20	Johnsongrass	7	8	Johnsongrass	7	9
	Lambsquarters	-	10	Lambsquarters	_	10
	Morningglory	0	9	Morningglory	6	9
	Nutsedge	9	3	Nutsedge	7	9
	Rape	8	10	Rape	8	4
25	Rice	9	7	Rice	10	2
	Sicklepod	8	6	Sicklepod	7	9
	Soybean	8	9	Soybean	6	9
	Sugar beet	10	10	Sugar beet	10	9
	Teaweed	8	9	Teaweed	9	9
30	Velvetleaf	10	9	Velvetleaf	9	3
	Wheat	4	3	Wheat	4	4
	Wild buckwheat	9	9	Wild buckwheat	8	9
	Wild oat	4	4	Wild oat	5	4

5		COMPOUND		COMPOUND
	Rate (16 g/ha) POSTEMERGENCE	1 6	Rate (16 g/ha) PREEMERGENCE	1 6
	Barley	4 2	Barley	3 2
10	Barnyardgrass	4 4	Barnyardgrass	6 4
10	Blackgrass	6 0	Blackgrass	4 0
	Chickweed	6 8	Chickweed	5 0
	Cocklebur	2 5	Cocklebur	9 3
	Corn	9 3	Corn	4 0
	Cotton	0 8	Cotton	3 9
15	Crabgrass	3 0	Crabgrass	5 0
	Downy brome	4 5	Downy brome	6 7
	Giant foxtail	4 2	Giant foxtail	0 0
	Green foxtail	2 2	Green foxtail	3 0
	Jimsonweed	7 5	Jimsonweed	6 9
20	Johnsongrass	6 7	Johnsongrass	6 8
	Lambsquarters	9 10	Lambsquarters	- 3
	Morningglory	0 9	Morningglory	6 9
	Nutsedge	4 2	Nutsedge	5 3
	Rape	6 9	Rape	8 0
25	Rice	8 5	Rice	8 0
	Sicklepod	4 5	Sicklepod	6 6
	Soybean	6 8	Soybean	4 9
	Sugar beet	10 9	Sugar beet	9 8
	Teaweed	6 7	Teaweed	9 9
30	Velvetleaf	8 9	Velvetleaf	9 0
	Wheat	2 2	Wheat	3 2
	Wild buckwheat	9 8	Wild buckwheat	7 8
	Wild .oat	2 2	Wild oat	3 2

5		COM	POUND		COM	POUND
	Rate (4 g/ha) POSTEMERGENCE	1	6	Rate (4 g/ha) PREEMERGENCE	1	6
	Barley	2	0	Barley	0	0
10	Barnyardgrass	2	0	Barnyardgrass	3	3
10	Blackgrass	4	0	Blackgrass	4	0
	Chickweed	4	7	Chickweed	3	0
	Cocklebur	0	5	Cocklebur	7	0
	Corn	5	0	Corn	2	0
7.5	Cotton	0	8	Cotton	0	_
15	Crabgrass	0	0	Crabgrass	3	0
	Downy brome	3	3	Downy brome	4	2
	Giant foxtail	1	0	Giant foxtail	0	0
	Green foxtail	2	0	Green foxtail	3	0
20	Jimsonweed	5	5	Jimsonweed	3	9
20	Johnsongrass	3	4	Johnsongrass	4	5
	Lambsquarters	8	8	Lambsquarters	-	0
	Morningglory	0	8	Morningglory	_	5
	Nutsedge	4	0	Nutsedge	3	0
25	Rape	5	7	Rape	7	0
25	Rice	7	3	Rice	4	0
	Sicklepod	4	4	Sicklepod	5	4
	Soybean	4	6	Soybean	3	8
	Sugar beet	10	9	Sugar beet	8	3
2.0	Teaweed	4	5	Teaweed	8	8
30	Velvetleaf	7	7	Velvetleaf	8	0
	Wheat	0	0	Wheat	0	0
	Wild buckwheat	7	6	Wild buckwheat	6	2
	Wild .oat	0	0	Wild oat	0	0

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#### TEST D

The compound evaluated in this test was formulated in a non-phytoxic solvent and applied to the soil surface before plant seedlings emerged (preemergence application), to water that covered the soil surface (paddy application), and to plants that were in the one-to-four leaf stage (postemergence application). A sandy loam soil was used for the preemergence and postemergence tests, while a silt loam soil was used in the paddy test. Water depth was approximately 2.5 cm for the paddy test and was maintained at this level for the duration of the test.

15 Plant species in the preemergence and postemergence tests consisted of barley (Hordeum vulgare), bedstraw (Galium aparine), blackgrass (Alopecurus myosuroides), chickweed (Stellaria media), corn (Zea mays), cotton (Gossypium hirsutum), crabgrass (Digitaria sanguinalis), downy brome 20 (Bromus tectorum), giant foxtail (Setaria faberii), lambsquarters (Chenopodium album), morningglory (Ipomoea hederacea), pigweed (Amaranthus retroflexus), rape (Brassica napus), ryegrass (Lolium 25 multiflorum), sorghum (Sorghum bicolor), soybean (Glycine max), speedwell (Veronica persica), sugar beet (Beta yulgaris), velvetleaf (Abutilon theophrasti), wheat (Triticum aestivum), wild buckwheat (Polygonum convolvulus), and wild oat 30 (Avena fatua). All plant species were planted one day before application of the compound for the preemergence portion of this test. Plantings of these species were adjusted to produce plants of appropriate size for the postemergence portion of the

test. Plant species in the paddy test consisted of

barnyardgrass (Echinochloa crus-galli), rice (Oryza

sativa), and umbrella sedge (Cyperus difformis).

All plant species were grown using normal greenhouse practices. Visual evaluations of injury expressed on treated plants, when compared to untreated controls, were recorded approximately fourteen to twenty-one days after application of the test compound. Plant response ratings, summarized in Table D, were recorded on a zero to ten scale where zero is no injury and ten is plant death. A dash (-) response means no test result.

_		COMPOUND	С	OMPOUND
5				
	Rate (500 g/ha	) 2	Rate (500 g/ha)	2
	POSTEMERGENCE		PADDY	
	Barley	10	Barnyardgrass	8
	Bedstraw	10	Rice	8.
10	Blackgrass	10	Umbrella sedge	9
	Chickweed	10		
	Corn	10		
	Cotton	6		
	Crabgrass	4		
15	Downy brome	9		
	Giant foxtail	9		
	Lambsquarters	10		
	Morningglory	6		
	Pigweed	10		
20	Rape	9		
	Ryegrass	7		
	Sorghum	7		
	Soybean	10		
	Speedwell	10		
25	Sugar beet	10		
	Velvetleaf	10	•	
	Wheat	8		
	Wild buckwheat	10		
30	Wild oat	8		

5		COMPOUND		COMPOUND
	Rate (500 g/ha)	2	Rate (250 g/h	a) 2
	PREEMERGENCE		POSTEMERGENCE	
	Barley	8	Barley	10
•	Bedstraw	9	Bedstraw	10
10	Blackgrass	7	Blackgrass	10
	Chickweed	9	Chickweed	10
	Corn	10	Corn	10
	Cotton	5	Cotton	4
	Crabgrass	8	Crabgrass	3
15	Downy brome	8	Downy brome	9
	Giant foxtail	9	Giant foxtail	9
	Lambsquarters	9 .	Lambsquarters	10
	Morningglory	8	Morningglory	4
	Pigweed	10	Pigweed	10
20	Rape	9	Rape	8
	Ryegrass	9	Ryegrass	_
,	Sorghum	10	Sorghum	7
	Soybean	9	Soybean	10
0.5	Speedwell	9	Speedwell	10
25	Sugar beet	9	Sugar beet	10
	Velvetleaf	9	Velvetleaf	10
	Wheat	8	Wheat	8
	Wild buckwheat	9	Wild buckwheat	10
30	Wild oat	7	Wild oat	6

5	со	MPOUND		COMPOUND
	Rate (250 g/ha) PADDY	2	Rate (250 g/ha	2
	Barnyardgrass	7	Barley	8
	Rice	8	Bedstraw	9
10	Umbrella sedge	9	Blackgrass	6
			Chickweed	9
			Corn	9
			Cotton	4
15			Crabgrass	8
15			Downy brome	8
			Giant foxtail	8
		à.	Lambsquarters	9
			Morningglory	7
20			Pigweed	10
20			Rape	9
			Ryegrass	8
			Sorghum	10
			Soybean	9
25			Speedwell	9
25			Sugar beet	9
			Velvetleaf	9
			Wheat	7
			Wild buckwheat	9
30			Wild oat	7

5		COMPOUND		COMPOUND
3				
	Rate (125 g/ha	) 2	Rate (125 g/ha)	) 2
	POSTEMERGENCE		PADDY	
	Barley	9	Barnyardgrass	7
3.0	Bedstraw	10	Rice	8
10	Blackgrass	9	Umbrella sedge	9
	Chickweed	10	-	-
	Corn	7		
	Cotton	4		
	Crabgrass	0	•	
15	Downy brome	9		
	Giant foxtail	7		
	Lambsquarters	10		
	Morningglory	2		
20	Pigweed	10		
20	Rape	6		
	Ryegrass	7		
	Sorghum	6	·	
	Soybean	10		
25	Speedwell	10		
25	Sugar beet	10		
	Velvetleaf	10	•	
	Wheat	7		
	Wild buckwheat	10		
30	Wild oat	5		

5		COMPOUND		COMPOUND
	Rate (125 g/ha) PREEMERGENCE	2	Rate (62 g/ha) POSTEMERGENCE	2
	Barley	7	Barley	8
	Bedstraw	9	Bedstraw	10
10	Blackgrass	6	Blackgrass	9
	Chickweed	9	Chickweed	10
	Corn	9	Corn	6
	Cotton	2	Cotton	2
	Crabgrass	7	Crabgrass	0
15	Downy brome	7	Downy brome	8
	Giant foxtail	7	Giant foxtail	6
	Lambsquarters	9 ,	Lambsquarters	10
	Morningglory	7	Morningglory	0
	Pigweed	10	Pigweed	10
20	Rape	8	Rape	5
	Ryegrass	8	Ryegrass	6
	Sorghum	10	Sorghum	6
	Soybean	8	Soybean	10
	Speedwell	9	Speedwell	10
25	Sugar beet	9	Sugar beet	9
	Velvetleaf	8	Velvetleaf	10
	Wheat	7	Wheat	6
	Wild buckwheat	9	Wild buckwheat	10
30	Wild oat	6	Wild oat	4

5		COMPOUND		COMPOUND
	Rate (62 g/ha) PADDY	2	Rate (62 g/ha) PREEMERGENCE	2
	Barnyardgrass	7	Barley	6
3.0	Rice	8	Bedstraw	9
10	Umbrella sedge	8	Blackgrass	5
			Chickweed	8
			Corn	8
			Cotton	0
15			Crabgrass	5
13			Downy brome	7
			Giant foxtail	6
			Lambsquarters	9
			Morningglory	7
20			Pigweed	10
20			Rape	8
			Ryegrass	7
	·		Sorghum	9
			Soybean	8
25			Speedwell	9
2.7			Sugar beet	8
			Velvetleaf	8
		,	Wheat	4
			Wild buckwheat	9
30			Wild oat	5

CLAIMS

5 What is claimed:

1. A compound of the formula:

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$$Q \longrightarrow N \longrightarrow Z$$

15

I

20

wherein

Q is

25

30

$$\mathbb{R}^6$$
 $\mathbb{R}^1$ 
 $\mathbb{R}^6$ 
 $\mathbb{R}^1$ 
 $\mathbb{R}^6$ 
 $\mathbb{R}^1$ 
 $\mathbb{C}^{H-}$ 
 $\mathbb{C}^{H-}$ 
 $\mathbb{C}^{H-}$ 
 $\mathbb{C}^{H-}$ 
 $\mathbb{C}^{H-}$ 
 $\mathbb{C}^{H-}$ 
 $\mathbb{C}^{H-}$ 
 $\mathbb{C}^{H-}$ 

15

$$\mathbb{R}^{6} \longrightarrow \mathbb{R}^{1} \qquad \text{or} \qquad \mathbb{R}^{6} \longrightarrow \mathbb{R}^{1}$$

$$\mathbb{Q}^{-5} \longrightarrow \mathbb{Q}^{-6}$$

25

$$\begin{array}{c|c}
 & X & R^1 \\
 & CH & CH & R^6
\end{array}$$
Q-7 Q-8

**---**----

15

A is  $CR^2$ , N or N-O; 20 X is H, F, C1, CH<sub>3</sub>, OH, C(0)NR<sup>12</sup>R<sup>13</sup>,  $CO_2R^{14}$  or CN;  $R^1$  is H, CHO, C(OCH<sub>3</sub>)<sub>2</sub>H,  $CO_2R^5$  or C(O)SR<sup>11</sup>;  $R^2$  is H, F, C1,  $C_1-C_2$  alkyl,  $C_1-C_2$ -alkoxy,  $C_2-C_3$  alkynyl,  $C_2-C_3$  alkenyl,  $S(0)_nC_1-C_2$ 25 alkyl, NO2, phenoxy, C2-C4 alkylcarbonyl,  $C(OCH_3)_2CH_3$ , or  $C(SCH_3)_2CH_3$ ;  $R^3$  is  $C_1-C_2$  alkyl,  $C_1-C_2$  alkoxy,  $OCF_2H$  or C1;  $R^4$  is  $C_1-C_2$  alkyl;  $R^5$  is H; M;  $C_1-C_3$  aikyl;  $C_2-C_3$  haloalkyl; 30 allyl; propargyl; benzyl optionally substituted with halogen,  $C_1-C_2$  alkyl,  $C_1-C_2$ alkoxy,  $CF_3$ ,  $NO_2$ ,  $SCH_3$ ,  $S(0)CH_3$ , or  $S(0)_2CH_3$ ;  $C_2-C_4$  alkoxyalkyl;  $N=CR^7R^8$ ; or  $CHR^9S(0)_nR^{10};$  $R^6$  is H, F, C1,  $CH_3$ ,  $OCH_3$  or  $S(O)_nCH_3$ ; 35  $R^7$  is C1,  $C_1$ - $C_2$  alkyl or  $SCH_3$ ;

```
R^8 is C_1-C_2 alkyl, CO_2(C_1-C_2 alkyl) or
                    C(0)N(CH<sub>3</sub>)<sub>2</sub>;
               \mathbb{R}^9 is H or \mathbb{CH}_3;
  5
                       is C_1-C_3 alkyl or phenyl optionally
       substituted with halogen, CH_3, OCH_3 or NO_2;
                       is C<sub>1</sub>-C<sub>2</sub> alkyl or benzyl;
               R<sup>12</sup>
                       is H or CH3;
               R<sup>13</sup>
                       is H or CH<sub>3</sub>;
 10
                       is H, C_1-C_3 alkyl, C_2-C_5 haloalkyl, C_3-C_5
               R<sup>14</sup>
       alkenyl, C_3-C_5 alkynyl, C_2-C_5 alkoxyalkyl or benzyl
       optionally substituted with CH_3, OCH_3, SCH_3, halogen,
       NO2 or CF3;
15
               m is 0 or 1;
               n is 0, 1 or 2;
              M is a alkali metal atom or an alkaline earth
       metal atom, an ammonium group or an alkylammonium
       group; and
20
              Z is CH or N.
              and their agriculturally suitable salts;
       provided that:
                  (a) when R^1 is H, then X is CO_2R^{14};
                  (b) when X is CO_2R^{14}, then R^1 is H; and.
                  (c) when Z is N, then \mathbb{R}^3 is \mathbb{C}_1-\mathbb{C}_2 alkyl or
25
      C_1-C_2 alkoxy.
                      The compounds of Claim 1 wherein Q is Q-1
               2.
      or Q-2.
30
                      The compounds of Claim 2 wherein
                      \mathbb{R}^2 is H, F, C1, \mathrm{CH_3}, \mathrm{SCH_3}, \mathrm{OCH_3} or
      OCH<sub>2</sub>CH<sub>3</sub>.
```

- 4. The compounds of Claim 3 wherein R<sup>6</sup> is H;

  5 Z is CH;
  R<sup>3</sup> is OCH<sub>3</sub>;
  R<sup>4</sup> is CH<sub>3</sub>; and
  X is H.
- 5. The compounds of Claim 3 wherein  $R^6$  is H or 3-F; Z is CH;  $R^3$  is OCH3;  $R^4$  is CH3; X is  $CO_2R^{14}$ ; and  $R^{14}$  is  $C_1-C_3$  alkyl, allyl, propargyl or benzyl.
- 6. The compound of Claim 3 which is 20 2-[cyano(4,6-dimethoxy-2-pyrimidinyl)methyl]-benzoic acid.
- 7. The compounds of Claim 4 wherein Q is Q-1;

  R<sup>1</sup> is CO<sub>2</sub>R<sup>5</sup>; and R<sup>5</sup> is H or M.
- 8. The compounds of Claim 4 wherein Q is Q-2;

  R<sup>1</sup> is CO<sub>2</sub>R<sup>5</sup>; and R<sup>5</sup> is H or M.
  - 9. The compound of Claim 5 which is ethyl 4,6-dimethoxy-alpha-phenyl-2-pyrimidineacetate.

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10. The compound of Claim 7 which is 2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-6-methylbenzoic acid.

11. The compound of Claim 7 which is 2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-6-methyl benzoic acid, sodium salt.

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- 12. The compound of Claim 7 which is 2-[(4,6-dimethoxy-2-pyrimidiny1)methy1]-3-pyridine carboxylic acid.
- 13. A composition suitable for controlling the growth of undesired vegetation which comprises an effective amount of a compound of Claim 1 and at least one of the following: surfactant, solid or liquid diluent.

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- 14. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 2 and at least one of the following: surfactant, solid or liquid diluent.
- the growth of undesired vegetation which compresses an effective amount of a compound of Claim 3 and at least one of the following: surfactant, solid or liquid diluent.
- 16. A composition suitable for controlling the growth of undesired vegetation which compresses
   35 an effective amount of a compound of Claim 4 and at

least one of the following: surfactant, solid or liquid diluent.

5

- 17. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 5 and at least one of the following: surfactant, solid or liquid diluent.
- 18. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 6 and at least one of the following: surfactant, solid or liquid diluent.
- 19. A composition suitable for controlling the growth of undesired vegetation which compresses 20 an effective amount of a compound of Claim 7 and at least one of the following: surfactant, solid or liquid diluent.
- 20. A composition suitable for controlling 25 the growth of undesired vegetation which compresses an effective amount of a compound of Claim 8 and at least one of the following: surfactant, solid or liquid diluent.
- 21. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 9 and at least one of the following: surfactant, solid or liquid diluent.

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22. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 10 and at least one of the following: surfactant, solid or liquid diluent.

- 23. A composition suitable for controlling
  the growth of undesired vegetation which compresses
  an effective amount of a compound of Claim 11 and at
  least one of the following: surfactant, solid or
  liquid diluent.
- 15 24. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 12 and at least one of the following: surfactant, solid or liquid diluent.

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25. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 1.

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26. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 2.

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27. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 3.

- 28. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 4.
- 29. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 5.
- 30. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 6.
- 31. A method for controlling the growth of undesired vegetation which compresses applying to the
  20 locus to be protected an effective amount of a compound of Claim 7.
- 32. A method for controlling the growth of undesired vegetation which compresses applying to the
  25 locus to be protected an effective amount of a compound of Claim 8.
- 33. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 9.
- 34. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 10.

35. A method for controlling the growth of undesired vegetation which compresses applying to the
locus to be protected an effective amount of a compound of Claim 11.

36. A method for controlling the growth of undesired vegetation which compresses applying to the
10 locus to be protected an effective amount of a compound of Claim 12.

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# INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 90/07417

- CLAS	SIFICATION	DF BUBJEC	T MATTER (if several clas	silication symbols apply, indicate all)	•
IPC <sup>5</sup>	C 07 I	239/5 1 43/6	ssification (IPC) or to both Mi 52,C 07 D 251, 6	ational Classification and IPC /20, C 07 D 401/06	,A 01 N 43/54,
II. FIELD	S SEARCHEE	<del>)</del>			
			Minimum Docum	intation Searched <sup>1</sup>	
Classmen	ion System			Classification Symbols	
IPC <sup>5</sup>	C	9 07 D	239/00,C 07 I	251/00,C 07 D 40	06/00,A 01 N
				then Minimum Documentation sere included in the Fields Searched	•
III. DOCL	JMENTS CON	SIDERED T	TO BE RELEVANT		
Category *	Citation e	of Document.	, 11 with indication, where app	ropciate, of the relevant passages 12	Relevant to Claim No. 12
A	GB,	(ICI) (11.0	585 950 11 March 198 3.81), see cl compounds No.	aims 1,12,	1,13, 25
P,A	EP,	(BASF (28.0	360 163 ) 28 March 19 3.90), see cl d in the appl	aims 1,5,8	1,13, 25
A	DE,	(AKZO	656 183 ) 23 June 197 6.77), see cla		1
"A" docu cons: "E" earlie filing "L" docu whsci citati "O" docu other "P" docu later	idered to be of a or document but i date iment which ma h is cited to est on or other spe- iment referring to r means iment published than the priority FICATION Actual Completed	he general st earticular reli earticular reli published o y throw dout tablish the p cual reason (i o an oral dis prior to the i y date claime	ate of the art which is not levance in or after the international bits on priority claim(s) or sublication date of another as specified) sciosure, use, exhibition or international filing date but add	cited to understand the prin invention  "X" document of particular rele cannot be considered novel involve an inventive step  "Y" document of particular rele cannot be considered to inve document is combined with	orflict with the application but ciple or theory underlying the wance; the claimed invention is or cannot be considered to wance; the claimed invention whe an inventive step when the one or more other such docuing obvious to a person skilled me petent family
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	EUROPEAN	·	OFFICE	MISS D	PANATCZAK

ANHERS zum internationalen Recherchenbericht über die internationale Patentanmeldung Nr.

#### ANNEX

to the International Search Report to the International Patent Application No.

#### ANNEXE

au rapport de recherche international relatif à la demande de brevet international n°

#### SA44312

In diesem Anhang sind die Mitglieder der Patentfamilien der im obengenannten internationalen Recherchenbericht cited in the above-mentioned interangeführten Patentdokumente angegeben. Diese Angaben dienen nur zur Unterrichtung und erfolgen ahne Gewähr.

This Annex lists the patent family members relating to the patent documents national search report. The Office is in no way liable for these particulars which are given merely for the purpose of information.

La présente annexe indique les membres de la famille de brevets relatifs aux documents de brevets cités dans le rapport de recherche international visée ci-dessus. Les reseignements fournis sont donnés à titre indicatif et n'engagent pas la responsibilité de l'Office.

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